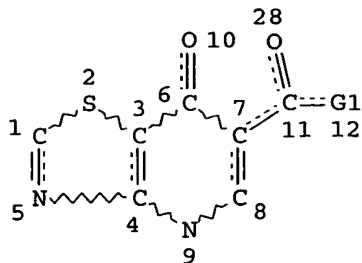


=> d stat que l51; d stat que l55; d his nofile
L49 STR



VAR G1=O/N

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

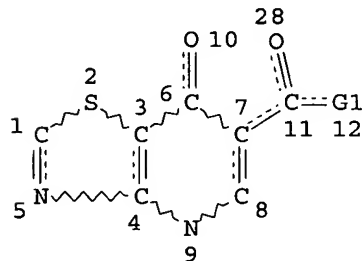
L51 54 SEA FILE=REGISTRY SSS FUL L49

100.0% PROCESSED 71 ITERATIONS

54 ANSWERS

SEARCH TIME: 00.00.01

L49 STR



VAR G1=O/N

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L55 2 SEA FILE=MARPAT SSS FUL L49

100.0% PROCESSED 904 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

(FILE 'HOME' ENTERED AT 14:15:19 ON 29 JUN 2006)

FILE 'STNGUIDE' ENTERED AT 14:15:29 ON 29 JUN 2006

FILE 'MEDLINE, DRUGU, WPIX, BIOSIS, EMBASE, SCISEARCH' ENTERED AT 14:17:09 ON 29 JUN 2006

L1 24612 SEA ABB=ON ANDERSON D?/AU
L2 129 SEA ABB=ON BEUTEL B?/AU
L3 8715 SEA ABB=ON COOPER C?/AU
L4 6940 SEA ABB=ON GU Y?/AU
L5 170 SEA ABB=ON HINMAN M?/AU
L6 107 SEA ABB=ON KALVIN D?/AU
L7 246 SEA ABB=ON KEYES R?/AU
L8 27 SEA ABB=ON SEARLE X?/AU
L9 9669 SEA ABB=ON WAGNER R?/AU
L10 1 SEA ABB=ON L1 AND L2 AND L3 AND L4 AND L5 AND L6 AND L7 AND
L8 AND L9
L11 142507 SEA ABB=ON ?THIAZOL?
L12 115 SEA ABB=ON (L1 OR L2 OR L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR
L9) AND L11
L13 17 SEA ABB=ON L1 AND (L2 OR L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR
L9)
L14 41 SEA ABB=ON L2 AND (L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9)
L15 12 SEA ABB=ON L3 AND (L4 OR L5 OR L6 OR L7 OR L8 OR L9)
L16 10 SEA ABB=ON L4 AND (L5 OR L6 OR L7 OR L8 OR L9)
L17 11 SEA ABB=ON L5 AND (L6 OR L7 OR L8 OR L9)
L18 8 SEA ABB=ON L6 AND (L7 OR L8 OR L9)
L19 2 SEA ABB=ON L7 AND (L8 OR L9)
L20 1 SEA ABB=ON L8 AND L9
L21 4 SEA ABB=ON (L13 OR L14 OR L15 OR L16 OR L17 OR L18) AND L11
L22 1316759 SEA ABB=ON ANTIBACTER? OR ANTIMICRO? OR ANTIBIOTIC? OR
ANTI(W) (BACTER? OR MICROB? OR BIOTIC?)
L23 26 SEA ABB=ON L12 AND L22
D SCAN L20
L24 735 SEA ABB=ON ?PIPERIDINON?
L25 1 SEA ABB=ON (L1 OR L2 OR L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR
L9) AND L24
L26 17 SEA ABB=ON (L12 AND (L13 OR L14 OR L15 OR L16 OR L17 OR L18))
OR (L13 AND (L14 OR L15 OR L16 OR L17 OR L18)) OR (L14 AND
(L15 OR L16 OR L17 OR L18)) OR (L15 AND (L16 OR L17 OR L18))
OR (L16 AND (L17 OR L18)) OR (L17 AND L18)

FILE 'STNGUIDE' ENTERED AT 14:23:43 ON 29 JUN 2006

FILE 'CAPLUS' ENTERED AT 14:24:05 ON 29 JUN 2006

L27 5325 SEA ABB=ON ANDERSON D?/AU
L28 46 SEA ABB=ON BEUTEL B?/AU
L29 1590 SEA ABB=ON COOPER C?/AU
L30 4000 SEA ABB=ON GU Y?/AU
L31 47 SEA ABB=ON HINMAN M?/AU
L32 48 SEA ABB=ON KALVIN D?/AU
L33 168 SEA ABB=ON KEYES R?/AU
L34 14 SEA ABB=ON SEARLE X?/AU
L35 3545 SEA ABB=ON WAGNER R?/AU
L36 1 SEA ABB=ON L27 AND L28 AND L29 AND L30 AND L31 AND L32 AND
L33 AND L34 AND L35

D SCAN

FILE 'MEDLINE, DRUGU, WPIX, BIOSIS, EMBASE, SCISEARCH' ENTERED AT
14:27:17 ON 29 JUN 2006

L37 12621 SEA ABB=ON ?PYRIDON?
L38 20 SEA ABB=ON (L1 OR L2 OR L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR
L9) AND L37
L39 11 SEA ABB=ON L38 AND L22
L40 12 SEA ABB=ON L38 AND (L22 OR L11)

FILE 'CAPLUS' ENTERED AT 14:28:39 ON 29 JUN 2006

L41 9746 SEA ABB=ON ?PYRIDON?/BI
L42 108515 SEA ABB=ON ?THIAZOL?/BI
L43 4 SEA ABB=ON (L27 OR L28 OR L29 OR L30 OR L31 OR L32 OR L33 OR
L34 OR L35) AND L41

FILE 'STNGUIDE' ENTERED AT 14:29:19 ON 29 JUN 2006

FILE 'MEDLINE, DRUGU, WPIX, BIOSIS, EMBASE, SCISEARCH' ENTERED AT
14:29:41 ON 29 JUN 2006

D QUE L10
D QUE L19
D QUE L20
D QUE L21
D QUE L40
D QUE L26
L44 30 SEA ABB=ON (L10 OR L19 OR L20 OR L21 OR L40 OR L26)

FILE 'CAPLUS' ENTERED AT 14:30:05 ON 29 JUN 2006

D QUE L36
D QUE L43
L45 4 SEA ABB=ON L36 OR L43

FILE 'CAPLUS, MEDLINE, DRUGU, WPIX, BIOSIS, EMBASE, SCISEARCH' ENTERED AT
14:30:16 ON 29 JUN 2006

L46 20 DUP REM L45 L44 (14 DUPLICATES REMOVED)
ANSWERS '1-4' FROM FILE CAPLUS
ANSWERS '5-8' FROM FILE MEDLINE
ANSWERS '9-13' FROM FILE WPIX
ANSWERS '14-18' FROM FILE BIOSIS
ANSWER '19' FROM FILE EMBASE
ANSWER '20' FROM FILE SCISEARCH
D IBIB ED ABS HITIND 1-4
D IALL 5-8
D IALL ABEQ TECH 9-13
D IALL 14-20

FILE 'REGISTRY' ENTERED AT 14:36:12 ON 29 JUN 2006

L47 STR
L48 1 SEA SSS SAM L47
D SCAN
L49 STR L47
L50 2 SEA SSS SAM L49
D SCAN
L51 54 SEA SSS FUL L49
SAVE TEMP L51 WAR002FULL/A

FILE 'CAPLUS' ENTERED AT 14:42:00 ON 29 JUN 2006

L52 5 SEA ABB=ON L51

FILE 'REGISTRY' ENTERED AT 14:42:12 ON 29 JUN 2006
L53 ANALYZE L51 1- LC : 5 TERMS
D

FILE 'MARPAT' ENTERED AT 14:42:54 ON 29 JUN 2006
L54 0 SEA SSS SAM L49
D QUE
L55 2 SEA SSS FUL L49
SAVE TEMP L55 WAR022MARPA

FILE 'REGISTRY' ENTERED AT 14:43:47 ON 29 JUN 2006
L56 364 SEA ABB=ON C12H14N4O3S/MF
L57 2 SEA ABB=ON L56 AND L51
L58 569 SEA ABB=ON C12H14N4O3S?/MF
L59 4 SEA ABB=ON L51 AND L58
D SCAN
L60 2 SEA ABB=ON L59 AND PYRROLIDINYL

FILE 'REGISTRY' ENTERED AT 14:45:04 ON 29 JUN 2006
D IDE L60 1-2

FILE 'CAPLUS, USPATFULL' ENTERED AT 14:45:26 ON 29 JUN 2006
L61 2 SEA ABB=ON L60
L62 1 DUP REM L61 (1 DUPLICATE REMOVED)
ANSWER '1' FROM FILE CAPLUS
D IBIB ED ABS HITRN L62

FILE 'REGISTRY' ENTERED AT 14:45:54 ON 29 JUN 2006
D STAT QUE L51

FILE 'CAPLUS' ENTERED AT 14:46:09 ON 29 JUN 2006
L63 5 SEA ABB=ON L51
L64 4 SEA ABB=ON L63 NOT (L45 OR L60)

FILE 'USPATFULL, TOXCENTER' ENTERED AT 14:46:56 ON 29 JUN 2006
L65 6 SEA ABB=ON L51

FILE 'MARPAT' ENTERED AT 14:46:57 ON 29 JUN 2006
D STAT QUE L55

FILE 'CAPLUS, MARPAT, USPATFULL, TOXCENTER' ENTERED AT 14:47:11 ON 29 JUN 2006
L66 6 DUP REM L64 L55 L65 (6 DUPLICATES REMOVED)
ANSWERS '1-4' FROM FILE CAPLUS
ANSWER '5' FROM FILE MARPAT
ANSWER '6' FROM FILE TOXCENTER
D IBIB ED ABS HITSTR 1-4
D IBIB ABS QHIT 5
D IALL 6

FILE 'REGISTRY' ENTERED AT 14:48:06 ON 29 JUN 2006
L67 1 SEA ABB=ON 65095-79-6
L68 1 SEA ABB=ON 65095-78-5
D IDE L67
D IDE L68

FILE 'HOME' ENTERED AT 14:48:22 ON 29 JUN 2006
D STAT QUE L51
D STAT QUE L55

=> fil medl drugu wpix biosis embase scisearch;d que l10; d que l19; d que l20; d que l21; d que l40; d que l26

FILE 'MEDLINE' ENTERED AT 14:29:41 ON 29 JUN 2006

FILE 'DRUGU' ENTERED AT 14:29:41 ON 29 JUN 2006

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FILE 'SCISEARCH' ENTERED AT 14:29:41 ON 29 JUN 2006

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L1 24612 SEA ANDERSON D?/AU
 L2 129 SEA BEUTEL B?/AU
 L3 8715 SEA COOPER C?/AU
 L4 6940 SEA GU Y?/AU
 L5 170 SEA HINMAN M?/AU
 L6 107 SEA KALVIN D?/AU
 L7 246 SEA KEYES R?/AU
 L8 27 SEA SEARLE X?/AU
 L9 9669 SEA WAGNER R?/AU
 L10 1 SEA L1 AND L2 AND L3 AND L4 AND L5 AND L6 AND L7 AND L8 AND L9

*Inventor
search*

L7 246 SEA KEYES R?/AU
 L8 27 SEA SEARLE X?/AU
 L9 9669 SEA WAGNER R?/AU
 L19 2 SEA L7 AND (L8 OR L9)

L8 27 SEA SEARLE X?/AU
 L9 9669 SEA WAGNER R?/AU
 L20 1 SEA L8 AND L9

L1 24612 SEA ANDERSON D?/AU
 L2 129 SEA BEUTEL B?/AU
 L3 8715 SEA COOPER C?/AU
 L4 6940 SEA GU Y?/AU
 L5 170 SEA HINMAN M?/AU
 L6 107 SEA KALVIN D?/AU
 L7 246 SEA KEYES R?/AU
 L8 27 SEA SEARLE X?/AU
 L9 9669 SEA WAGNER R?/AU
 L11 142507 SEA ?THIAZOL?
 L13 17 SEA L1 AND (L2 OR L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9)
 L14 41 SEA L2 AND (L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9)
 L15 12 SEA L3 AND (L4 OR L5 OR L6 OR L7 OR L8 OR L9)

L16 10 SEA L4 AND (L5 OR L6 OR L7 OR L8 OR L9)
L17 11 SEA L5 AND (L6 OR L7 OR L8 OR L9)
L18 8 SEA L6 AND (L7 OR L8 OR L9)
L21 4 SEA (L13 OR L14 OR L15 OR L16 OR L17 OR L18) AND L11

L1 24612 SEA ANDERSON D?/AU
L2 129 SEA BEUTEL B?/AU
L3 8715 SEA COOPER C?/AU
L4 6940 SEA GU Y?/AU
L5 170 SEA HINMAN M?/AU
L6 107 SEA KALVIN D?/AU
L7 246 SEA KEYES R?/AU
L8 27 SEA SEARLE X?/AU
L9 9669 SEA WAGNER R?/AU
L11 142507 SEA ?THIAZOL?
L22 1316759 SEA ANTIBACTER? OR ANTIMICRO? OR ANTIBIOTIC? OR ANTI(W) (BACTER?
OR MICROB? OR BIOTIC?)
L37 12621 SEA ?PYRIDON?
L38 20 SEA (L1 OR L2 OR L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9) AND
L37
L40 12 SEA L38 AND (L22 OR L11)

L1 24612 SEA ANDERSON D?/AU
L2 129 SEA BEUTEL B?/AU
L3 8715 SEA COOPER C?/AU
L4 6940 SEA GU Y?/AU
L5 170 SEA HINMAN M?/AU
L6 107 SEA KALVIN D?/AU
L7 246 SEA KEYES R?/AU
L8 27 SEA SEARLE X?/AU
L9 9669 SEA WAGNER R?/AU
L11 142507 SEA ?THIAZOL?
L12 115 SEA (L1 OR L2 OR L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9) AND
L11
L13 17 SEA L1 AND (L2 OR L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9)
L14 41 SEA L2 AND (L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9)
L15 12 SEA L3 AND (L4 OR L5 OR L6 OR L7 OR L8 OR L9)
L16 10 SEA L4 AND (L5 OR L6 OR L7 OR L8 OR L9)
L17 11 SEA L5 AND (L6 OR L7 OR L8 OR L9)
L18 8 SEA L6 AND (L7 OR L8 OR L9)
L26 17 SEA (L12 AND (L13 OR L14 OR L15 OR L16 OR L17 OR L18)) OR (L13
AND (L14 OR L15 OR L16 OR L17 OR L18)) OR (L14 AND (L15 OR L16
OR L17 OR L18)) OR (L15 AND (L16 OR L17 OR L18)) OR (L16 AND
(L17 OR L18)) OR (L17 AND L18)

=> s l10,l19,l20,l21,l40,l26

L44 30 (L10 OR L19 OR L20 OR L21 OR L40 OR L26)

=> fil capl; d que l36; d que l43; s l36 or l43

FILE 'CAPLUS' ENTERED AT 14:30:05 ON 29 JUN 2006

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FILE COVERS 1907 - 29 Jun 2006 VOL 145 ISS 1
FILE LAST UPDATED: 28 Jun 2006 (20060628/ED)

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'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

L27 5325 SEA FILE=CAPLUS ABB=ON ANDERSON D?/AU
L28 46 SEA FILE=CAPLUS ABB=ON BEUTEL B?/AU
L29 1590 SEA FILE=CAPLUS ABB=ON COOPER C?/AU
L30 4000 SEA FILE=CAPLUS ABB=ON GU Y?/AU
L31 47 SEA FILE=CAPLUS ABB=ON HINMAN M?/AU
L32 48 SEA FILE=CAPLUS ABB=ON KALVIN D?/AU
L33 168 SEA FILE=CAPLUS ABB=ON KEYES R?/AU
L34 14 SEA FILE=CAPLUS ABB=ON SEARLE X?/AU
L35 3545 SEA FILE=CAPLUS ABB=ON WAGNER R?/AU
L36 1 SEA FILE=CAPLUS ABB=ON L27 AND L28 AND L29 AND L30 AND L31
AND L32 AND L33 AND L34 AND L35

L27 5325 SEA FILE=CAPLUS ABB=ON ANDERSON D?/AU
L28 46 SEA FILE=CAPLUS ABB=ON BEUTEL B?/AU
L29 1590 SEA FILE=CAPLUS ABB=ON COOPER C?/AU
L30 4000 SEA FILE=CAPLUS ABB=ON GU Y?/AU
L31 47 SEA FILE=CAPLUS ABB=ON HINMAN M?/AU
L32 48 SEA FILE=CAPLUS ABB=ON KALVIN D?/AU
L33 168 SEA FILE=CAPLUS ABB=ON KEYES R?/AU
L34 14 SEA FILE=CAPLUS ABB=ON SEARLE X?/AU
L35 3545 SEA FILE=CAPLUS ABB=ON WAGNER R?/AU
L41 9746 SEA FILE=CAPLUS ABB=ON ?PYRIDON?/BI
L43 4 SEA FILE=CAPLUS ABB=ON (L27 OR L28 OR L29 OR L30 OR L31 OR
L32 OR L33 OR L34 OR L35) AND L41

L45 4 L36 OR L43

=> dup rem 145,144

FILE 'CAPLUS' ENTERED AT 14:30:16 ON 29 JUN 2006
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FILE 'SCISEARCH' ENTERED AT 14:30:16 ON 29 JUN 2006
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PROCESSING COMPLETED FOR L45
PROCESSING COMPLETED FOR L44

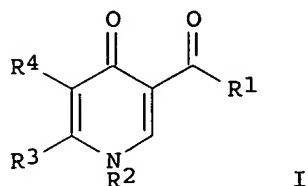
L46 20 DUP REM L45 L44 (14 DUPLICATES REMOVED)
ANSWERS '1-4' FROM FILE CAPLUS
ANSWERS '5-8' FROM FILE MEDLINE
ANSWERS '9-13' FROM FILE WPIX
ANSWERS '14-18' FROM FILE BIOSIS
ANSWER '19' FROM FILE EMBASE
ANSWER '20' FROM FILE SCISEARCH

=> d ibib ed abs hitind 1-4; d iall 5-8; d iall abeq tech 9-13; d iall 14-20

L46 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2005:641875 CAPLUS
DOCUMENT NUMBER: 143:153396
TITLE: Preparation of **pyrimidopyridonecarboxylates**,
thiazolopyridonecarboxylates, and related
compounds as antibacterials.
INVENTOR(S): Anderson, David D.; Beutel, Bruce A.
; Cooper, Curt S.; Gu, Yu-gui;
Hinman, Mira M.; Kalvin, Douglas M.;
Keyes, Robert F.; Searle, Xenia B.;
Wagner, Rolf
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 28 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|---|----------|-----------------|------------|
| ----- | ---- | ----- | ----- | ----- |
| US 2005159423 | A1 | 20050721 | US 2004-762002 | 20040121 |
| WO 2005075477 | A1 | 20050818 | WO 2004-US40993 | 20041208 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| PRIORITY APPLN. INFO.: | | | US 2004-762002 | A 20040121 |

OTHER SOURCE(S): MARPAT 143:153396
 ED Entered STN: 22 Jul 2005
 GI



AB Title compds. [I; R1 = OH, OR5, NH2, NHR5, N(R5)2; R2 = H, CMe3, allyloxy, 4-methoxyphenylmethyl, 2,4-dimethoxyphenylmethyl; R3R4 = atoms to form (substituted) thiazolo, pyrimido rings; R5 = alkyl], were prepared Thus, 4-(4-methoxybenzyl)-2-methylsulfonyl-7-oxo-4,7-dihydrothiazolo[4,5-b]pyridine-6-carboxylic acid (preparation given) and tert-Bu 3-pyrrolidinylmethylcarbamate (preparation given) were refluxed 18 h in EtOH to give protected coupling product, which was stirred in CF3CO2H at 110° for 18 h to give 2-[3-(aminomethyl)pyrrolidin-1-yl]-7-oxo-4,7-dihydrothiazolo[4,5-b]pyridine-6-carboxylic acid trifluoroacetate. Representative I showed min. inhibitory concns. of 32-64 µg/mL against *Streptococcus pneumoniae* ATCC 6303.

IC ICM A61K031-506
 ICS A61K031-501; A61K031-497; C07D043-02; C07D413-02; C07D417-02; A61K031-4439

INCL 514252030; 514255050; 514256000; 514340000; 514341000; 514350000; 544238000; 544333000; 544405000; 546268400

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1

ST **pyrimidopyridonecarboxylate thiazolopyridonecarboxylate**
 prepn antibacterial; **pyridonecarboxylate** fused prepn bacterial
 infection treatment

IT Infection
 (bacterial, treatment; preparation of **pyrimidopyridonecarboxylates**, **thiazolopyridonecarboxylates** and related compds. as antibacterials)

IT Antibacterial agents
 Fish
 Human
 (preparation of **pyrimidopyridonecarboxylates**, **thiazolopyridonecarboxylates** and related compds. as antibacterials)

IT 33836-52-1P 859731-18-3P 859731-19-4P 859731-20-7P 859731-21-8P
 859731-22-9P 859731-23-0P 859731-24-1P 859731-25-2P 859731-26-3P
 859731-27-4P 859731-28-5P 859731-29-6P 859731-30-9P 859731-31-0P
 859731-32-1P 859731-33-2P 859731-34-3P 859731-35-4P 859731-36-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (claimed compound; preparation of **pyrimidopyridonecarboxylates**, **thiazolopyridonecarboxylates** and related compds. as antibacterials)

IT 859731-53-6P 859731-54-7P 859731-55-8P 859731-56-9P 859731-57-0P
 859731-58-1P 859731-59-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of **pyrimidopyridonecarboxylates**,
thiazolopyridonecarboxylates and related compds. as
antibacterials)

IT 87-13-8, Diethyl ethoxymethylenemalonate 104-96-1 123-75-1,
Pyrrolidine, reactions 452-77-7 452-80-2 462-08-8, 3-Aminopyridine
503-29-7, Azetidine 589-08-2 638-07-3, Ethyl 4-chloroacetoacetate
775-15-5, 1-Benzyl-3-pyrrolidinol 1005-39-6 1011-15-0,
1-(2-Fluorophenyl)piperazine 3490-06-0 4469-80-1, 4-Propoxyaniline
4637-24-5, Dmf dimethyl acetal 6933-10-4, 4-Bromo-3-methylaniline
13145-41-0 39512-50-0, 1-(2-Chlorophenyl)piperazine 49844-90-8
99724-19-3 102297-41-6 122536-77-0 132414-50-7
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of **pyrimidopyridonecarboxylates**,
thiazolopyridonecarboxylates and related compds. as
antibacterials)

IT 2183-66-6P 10603-52-8P 33836-55-4P 34711-92-7P 36707-42-3P
36707-43-4P 36707-44-5P 36707-45-6P 37917-93-4P 56700-70-0P
65095-75-2P 142643-29-6P 149366-79-0P 155497-10-2P 172603-05-3P
859731-37-6P 859731-38-7P 859731-39-8P 859731-40-1P 859731-41-2P
859731-42-3P 859731-43-4P 859731-44-5P 859731-45-6P 859731-46-7P
859731-47-8P 859731-48-9P 859731-49-0P 859731-50-3P 859731-51-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of **pyrimidopyridonecarboxylates**,
thiazolopyridonecarboxylates and related compds. as
antibacterials)

L46 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 1996:422678 CAPLUS

DOCUMENT NUMBER: 125:221511

TITLE: Synthesis and Structure-Activity Relationships of 2-
Pyridones: A Novel Series of Potent DNA Gyrase
Inhibitors as Antibacterial Agents

AUTHOR(S): Li, Qun; Chu, Daniel T. W.; Claiborne, Akiyo;
Cooper, Curt S.; Lee, Cheuk M.; Raye,
Kathleen; Berst, Kristine B.; Donner, Pamela; Wang,
Weibo; et al.

CORPORATE SOURCE: Abbott Laboratories, Abbott Park, IL, 60064-3500, USA

SOURCE: Journal of Medicinal Chemistry (1996), 39(16),
3070-3088

CODEN: JMCMAR; ISSN: 0022-2623

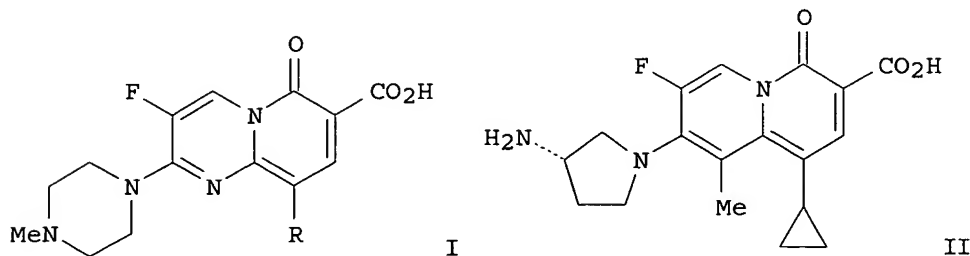
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 18 Jul 1996

GI



- AB Two novel series of 2-pyridones, e.g. I (R = 2,4-F₂C₆H₃), II, were synthesized by transposition of the nitrogen of 4-quinolones to the bridgehead position. This subtle interchange of the nitrogen atom with a carbon atom yielded two novel heterocyclic nuclei, pyrido[1,2-a]pyrimidine and quinolizine, which had not previously been evaluated as antibacterial agents and were found to be potent inhibitors of DNA gyrase. Quinolizines with a Me group at the 9-position such as II (ABT-719) demonstrate exceptional broad spectrum antibacterial activity. Most notably, they are active against resistant bacteria such as methicillin-resistant *Staphylococcus aureus*, vancomycin-resistant strains of enterococci, and ciprofloxacin-resistant organisms. In addition, 2-pyridones also possess favorable physiochem. and pharmacokinetic properties. These 2-pyridones were synthesized from the com. available starting materials by 10-17 linear transformations. The structure of an adduct yielded by this sequence, ABT-719, was determined by X-ray crystallog. anal.
- CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 10, 28
- ST pyridone prepn DNA gyrase inhibitor; pyridopyrimidine deriv prepn bactericide; quinolizine deriv prepn bactericide; bactericide pyridone deriv prepn
- IT Bactericides, Disinfectants, and Antiseptics
(preparation and bactericidal activity of 2-pyridones)
- IT Enzymes
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(DNA-supercoiling, preparation and DNA gyrase inhibitory activity (bactericidal activity) of 2-pyridones)
- IT Molecular structure-biological activity relationship
(bactericidal, preparation and bactericidal activity of 2-pyridones)
- IT 139160-92-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bactericidal activity of 2-pyridones)
- IT 139160-76-2P 139160-81-9P 139160-87-5P 139160-89-7P 139160-93-3P
139160-94-4P 139160-95-5P 139160-96-6P 139160-97-7P 139161-00-5P
139161-01-6P 162763-53-3P 162829-88-1P 162829-89-2P 169748-47-4P
169748-49-6P 169748-62-3P 169748-70-3P 169748-75-8P 169748-81-6P
169748-82-7P 169748-83-8P 169749-02-4P 169749-04-6P 169749-05-7P
169749-06-8P 169749-12-6P 169749-17-1P 169749-25-1P 169749-48-8P
169749-51-3P 169749-52-4P 180975-81-9P 180975-82-0P 180975-83-1P
180975-88-6P 180975-89-7P 180975-90-0P 180975-91-1P 180975-92-2P
180975-93-3P 180975-94-4P 180975-95-5P 180975-96-6P 180975-97-7P
180975-98-8P 180975-99-9P 180976-00-5P 180976-02-7P 180976-03-8P
180976-04-9P 180976-06-1P 180976-07-2P 181141-49-1P 181141-50-4P
181141-51-5P 181141-52-6P 181141-53-7P 181141-54-8P 181141-55-9P
181141-56-0P 181141-57-1P 181187-00-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of 2-pyridones)
- IT 180975-86-4P
RL: BYP (Byproduct); PREP (Preparation)
(preparation and bactericidal activity of 2-pyridones)
- IT 181141-58-2P 181141-59-3P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bactericidal activity of 2-pyridones)

IT 87-13-8, Diethyl (ethoxymethylene)malonate 104-47-2 105-53-3, Diethyl malonate 105-58-8, Diethyl carbonate 109-01-3, 1-Methylpiperazine 110-91-8, Morpholine, reactions 288-32-4, Imidazole, reactions 459-22-3, (4-Fluorophenyl)acetonitrile 653-30-5 656-35-9 700-16-3 1735-84-8 3678-63-5, 4-Chloro-2-picoline 6542-60-5, Cyclopropaneacetonitrile 10118-17-9 15014-25-2, Dibenzyl malonate 16012-70-7 25808-30-4 40499-83-0, 3-Hydroxypyrrolidine 57260-71-6 57260-73-8 91188-13-5 99724-19-3 107610-69-5 112275-50-0 113451-59-5 114677-00-8 122536-76-9 122536-77-0 128739-92-4 132883-44-4, 3-Pyrrolidinamine, N,N-dimethyl-S- 134575-17-0 139161-75-4 143444-82-0 143444-83-1 143444-84-2 147459-52-7 149366-79-0 150281-45-1 169750-01-0 169750-38-3 180975-51-3 180975-57-9 180975-59-1 180975-66-0 180975-70-6 180975-72-8 181141-39-9 181141-40-2 181141-43-5 181141-44-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation and bactericidal activity of 2-pyridones)

IT 42392-67-6P 93856-98-5P, Pyridine, 4-chloro-2-propyl 121492-06-6P 139160-91-1P 139161-45-8P 139161-46-9P 139161-47-0P 139161-48-1P 139161-50-5P 139161-55-0P 139161-56-1P 139161-62-9P 139161-63-0P 139161-67-4P 139161-69-6P 139161-70-9P 139179-03-6P 169749-69-3P 169749-71-7P 169749-72-8P 169749-81-9P 169749-82-0P 169749-83-1P 169749-84-2P 169749-85-3P 169749-87-5P 169749-89-7P 169749-95-5P 169749-97-7P 169749-98-8P 169750-35-0P 169750-37-2P 169750-52-1P 180975-76-2P 180975-84-2P 180975-85-3P 180976-08-3P 180976-09-4P 180976-10-7P 180976-11-8P 180976-12-9P 180976-13-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and bactericidal activity of 2-pyridones)

L46 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:140804 CAPLUS

DOCUMENT NUMBER: 142:240419

TITLE: Preparation of substituted thieno[2,3-b] pyridones as activators for AMP-activated kinase for the treatment of diabetes and obesity
INVENTOR(S): Iyengar, Rajesh R.; Judd, Andrew S.; Zhao, Gang; Kym, Philip R.; Sham, Hing L.; Gu, Yugui; Liu, Gang; Liu, Mei; Zhao, Hongyu; Clark, Richard F.; Frevert, Ernst U.; Cool, Barbara L.; Zhang, Tianyuan; Keyes, Robert F.; Hansen, Todd M.; Xin, Zhili

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 86 pp.

CODEN: USXXCO

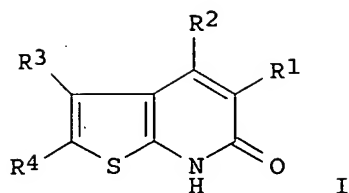
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|-------------|------------|-----------------|------------|
| US 2005038068 | A1 | 20050217 | US 2004-847144 | 20040517 |
| PRIORITY APPLN. INFO.: | | | US 2003-471064P | P 20030516 |
| OTHER SOURCE(S): | MARPAT | 142:240419 | | |
| ED Entered STN: | 18 Feb 2005 | | | |
| GI | | | | |



- AB Title compds. I [R1 = H, alkoxy, alkoxycarbonyl, etc.; R2 = alkoxy, OH, thioalkoxy, etc.; R3 = alkoxycarbonyl, aryl, etc.; R4 = H, alk(en/yn)yl, aryl, etc.] are prepared For instance, 3-(3,5-dimethylphenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile is prepared in several steps from 3,5-dimethylacetophenone, Et cyanoacetate and cyanoacetic acid. Representative compds. of the invention activate AMPK at a dose of 1-100 μ M. I are useful for the treatment of disorders such as diabetes, metabolic syndrome and obesity.
- IC ICM C07D498-02
ICS A61K031-4743
- INCL 514301000; 546114000
- CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
- ST **thienopyridone** ampk activator treatment diabetes obesity prepn
- IT Metabolic disorders
(metabolic syndrome X; preparation of substituted thieno[2,3-b]
pyridones as activators for AMP-activated kinase for treatment
of diabetes and obesity)
- IT Antidiabetic agents
Antiobesity agents
Diabetes insipidus
Diabetes mellitus
Human
Obesity
(preparation of substituted thieno[2,3-b]**pyridones** as activators
for AMP-activated kinase for treatment of diabetes and obesity)
- IT 172522-01-9, AMP-activated kinase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of substituted thieno[2,3-b]**pyridones** as activators
for AMP-activated kinase for treatment of diabetes and obesity)
- IT 844499-51-0P, 3-(4-Fluorophenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-52-1P, 3-(4-Chlorophenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-53-2P, 4-Hydroxy-6-oxo-3-[4-(trifluoromethyl)phenyl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-56-5P, 3-(4-Bromophenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-58-7P, 3-(4'-Fluoro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-65-6P, 2,5-Dichloro-3-(4-chlorophenyl)-4-hydroxythieno[2,3-b]pyridin-6(7H)-one 844499-66-7P, 4-Hydroxy-3-(4-nitrophenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-67-8P, 2-Bromo-4-hydroxy-3-(4-nitrophenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-68-9P, 3-(1,1'-Biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-69-0P, 4-Hydroxy-3-(2'-methyl-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-70-3P, 4-Hydroxy-3-(3'-methyl-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-71-4P, 4-Hydroxy-3-(2'-hydroxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-72-5P, 4-Hydroxy-3-(3'-hydroxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-

dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-73-6P,
 4-Hydroxy-3-(2'-methoxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-74-7P, 4-Hydroxy-3-(3'-methoxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-75-8P, 4-Hydroxy-3-(4'-methoxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-76-9P, 3-(2'-Fluoro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-77-0P, 3-(3'-Fluoro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-78-1P, 3-(2'-Chloro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-79-2P, 3-(3'-Chloro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-80-5P, 3-(4'-Chloro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-81-6P, 3-(4'-Cyano-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-82-7P, 3-(3'-Acetyl-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-83-8P, 3-[4'-(Dimethylamino)-1,1'-biphenyl-4-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-84-9P, 4-Hydroxy-6-oxo-3-(4'-phenoxy-1,1'-biphenyl-4-yl)-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-86-1P, 3-(4'-Acetyl-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-94-1P, 3-(4-Aminophenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-02-3P, 2-Chloro-4-hydroxy-3-(4-bromophenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-03-4P, 2-Bromo-3-(5'-bromo-2'-hydroxy-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-05-6P, 3-(2'-Formyl-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-06-7P, 4-Hydroxy-3-[4-(methoxymethoxy)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-11-4P, 4-Hydroxy-3-(4-hydroxyphenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-19-2P, 3-(3-Bromophenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-29-4P, tert-Butyl [4-[5-(5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)thien-2-yl]phenyl]carbamate 844500-43-2P, 3-(5-Bromothien-2-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-46-5P, 3-[4-(Allyloxy)phenyl]-2-chloro-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-54-5P, 2-Chloro-4-hydroxy-3-[4-[(1-hydroxycyclopent-3-en-1-yl)methoxy]phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-72-7P, 4-Hydroxy-3-(5-iodo-4-methylthien-2-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-82-9P, Methyl 4-(2-chloro-5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)benzoate 844500-94-3P, 4-Hydroxy-3-[4-(4-hydroxybut-1-ynyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-05-9P, 7-[4-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)phenyl]heptan-6-ynoic acid 844501-13-9P, 2-Chloro-4-hydroxy-6-oxo-3-(2-phenylcyclopropyl)-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-52-6P, 3-[4-(Allyloxy)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-62-8P, 3-[4-Bromo-5-(3-methoxyprop-1-ynyl)thiophen-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-74-2P, 4-Hydroxy-3-[4-(5-hydroxypent-1-ynyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-79-0P, Ethyl 4-hydroxy-3-(2'-hydroxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carboxylate
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of substituted thieno[2,3-b]pyridones as activators for AMP-activated kinase for treatment of diabetes and obesity)

IT 844499-48-5P, 3-(3,5-Dimethylphenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-54-3P, 2-Bromo-3-(4-chlorophenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-55-4P, 2-Bromo-4-hydroxy-6-oxo-3-[4-(trifluoromethyl)phenyl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-57-6P, 2-Bromo-3-(4-fluorophenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-59-8P, 4-Hydroxy-6-oxo-3-[4'-(trifluoromethyl)-1,1'-biphenyl-4-yl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-60-1P, 3-[4-(1,3-Benzodioxol-5-yl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-61-2P, 2,5-Dibromo-3-(4-chlorophenyl)-4-hydroxythieno[2,3-b]pyridin-6(7H)-one 844499-88-3P, 3-(2',3'-Dimethyl-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-89-4P, 4-Hydroxy-6-oxo-3-[4'-(trifluoromethoxy)-1,1'-biphenyl-4-yl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-90-7P, 3-(3',4'-Dimethyl-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-91-8P, 3-(2',3'-Dichloro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-92-9P, 3-(2',4'-Dichloro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-93-0P, 2-Bromo-3-(4'-fluoro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-95-2P, 2-Chloro-4-hydroxy-6-oxo-3-[4-(trifluoromethyl)phenyl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-96-3P, 4-Hydroxy-3-(4'-hydroxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-97-4P, 3-(4'-Acetyl-1,1'-biphenyl-4-yl)-2-bromo-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-98-5P, 2-Bromo-4-hydroxy-3-(2'-hydroxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-99-6P, 2-Bromo-3-(4'-cyano-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-00-1P, 2-Chloro-4-hydroxy-3-(2'-hydroxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-04-5P, 4-Hydroxy-3-[2'-(hydroxymethyl)-1,1'-biphenyl-4-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-07-8P, 4-Hydroxy-6-oxo-3-[2'-[[2-[4-(trifluoromethyl)phenyl]ethyl]amino]methyl]-1,1'-biphenyl-4-yl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-08-9P, 4-Hydroxy-3-[2'-[[2-(4-hydroxy-3,5-dimethoxyphenyl)ethyl]amino]methyl]-1,1'-biphenyl-4-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-09-0P, 3-[4-(2-Formylthien-3-yl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-10-3P, 3-(2'-Amino-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-12-5P, 2-Bromo-3-(3-bromo-4-hydroxyphenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-13-6P, 2-Chloro-3-(2'-chloro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-14-7P, N-[4'-(2-Chloro-5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)-1,1'-biphenyl-3-yl]acetamide 844500-15-8P, 2-Chloro-3-(4'-chloro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-16-9P, [[4'-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)-1,1'-biphenyl-2-yl]oxy]acetic acid 844500-17-0P, 2-Bromo-4-hydroxy-3-(4-hydroxyphenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-18-1P, 2-Bromo-3-(3,5-dibromo-4-hydroxyphenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-20-5P, 2-Chloro-4-hydroxy-3-(2'-methyl-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-21-6P, 2-Chloro-4-hydroxy-3-(3'-hydroxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-

dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-22-7P,
3-[4-[Bis(3,3-dimethylbutyl)amino]phenyl]-4-hydroxy-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-23-8P,
2,5-Dichloro-3-(3,5-dichloro-4-hydroxyphenyl)-4-hydroxythieno[2,3-
b]pyridin-6(7H)-one 844500-27-2P, 3-[4-(2,6-Dihydroxyphenyl)phenyl]-4-
hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile
844500-28-3P, 3-[5-(4-Aminophenyl)thien-2-yl]-4-hydroxy-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-30-7P,
N-[4-[5-(2-Chloro-5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-
3-yl)thien-2-yl]phenyl]methanesulfonamide 844500-31-8P,
3-[4-(2,3-Dihydroxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-
b]pyridine-5-carbonitrile 844500-34-1P, 2,5-Dibromo-3-(3,5-dibromo-4-
hydroxyphenyl)-4-hydroxythieno[2,3-b]pyridin-6(7H)-one 844500-39-6P,
3-[4-(2,4-Dihydroxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-
b]pyridine-5-carbonitrile 844500-40-9P, N-[4-[5-(5-Cyano-4-hydroxy-6-oxo-
6,7-dihydrothieno[2,3-b]pyridin-3-yl)thien-2-yl]phenyl]methanesulfonamide
844500-44-3P, 4-Hydroxy-3-[5-(4-hydroxyphenyl)thien-2-yl]-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-45-4P,
2-Chloro-3-[4-(2,3-dihydroxypropoxy)phenyl]-4-hydroxy-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-47-6P,
4-[5-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)thien-2-
yl]benzenesulfonamide 844500-48-7P, 4-Hydroxy-6-oxo-3-[5-(pyridin-4-
yl)thien-2-yl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile
844500-49-8P, 2-Chloro-4-hydroxy-3-[5-(4-hydroxyphenyl)thien-2-yl]-6-oxo-
6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-53-4P,
4-Hydroxy-3-[5-[4-(hydroxymethyl)phenyl]thien-2-yl]-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-61-4P,
2-Chloro-4-hydroxy-3-(4-hydroxyphenyl)-6-oxo-6,7-dihydrothieno[2,3-
b]pyridine-5-carbonitrile 844500-62-5P, 4-Hydroxy-3-[5-[4-
(methylsulfonyl)phenyl]thien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-
5-carbonitrile 844500-63-6P, N-[4-[5-(5-Cyano-4-hydroxy-6-oxo-6,7-
dihydrothieno[2,3-b]pyridin-3-yl)thien-2-yl]phenyl]acetamide
844500-64-7P, 4-Hydroxy-6-oxo-3-(5-phenylthien-2-yl)-6,7-dihydrothieno[2,3-
b]pyridine-5-carbonitrile 844500-65-8P, 3-(2,2'-Bithien-5-yl)-4-hydroxy-
6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-66-9P,
3-[4-(3-Fluoro-2-hydroxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-67-0P,
3-[5-(2-Aminophenyl)thien-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-
b]pyridine-5-carbonitrile 844500-68-1P, 3-[5-(4-Fluorophenyl)thien-2-yl]-
4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile
844500-69-2P, 3-[5-(2,4-Difluorophenyl)thien-2-yl]-4-hydroxy-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-70-5P,
4-Hydroxy-6-oxo-3-(4-(thien-3-yl)phenyl)-6,7-dihydrothieno[2,3-b]pyridine-
5-carbonitrile 844500-71-6P, 4-Hydroxy-3-[5-(3-methoxyprop-1-ynyl)-4-
methylthien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile
844500-73-8P, 2,5-Dichloro-4-hydroxy-3-(4-hydroxyphenyl)thieno[2,3-
b]pyridin-6(7H)-one 844500-74-9P, Methyl [4-[5-(5-cyano-4-hydroxy-6-oxo-
6,7-dihydrothieno[2,3-b]pyridin-3-yl)thien-2-yl]phenyl]carbamate
844500-76-1P, 2-Chloro-4-hydroxy-3-[4-(2-hydroxy-2-methylpropoxy)phenyl]-6-
oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-80-7P,
2-Chloro-4-hydroxy-3-[4-[(1-hydroxycyclopentyl)methoxy]phenyl]-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-81-8P,
2-Chloro-4-hydroxy-3-[4-(hydroxymethyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-
b]pyridine-5-carbonitrile 844500-83-0P, 4-Hydroxy-3-[5-(4-
methoxyphenyl)thien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-
carbonitrile 844500-84-1P, 4-Hydroxy-2-methyl-6-oxo-3-(phenylethynyl)-
6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-87-4P,
2-Chloro-3-[4-[(1-ethyl-4-hydroxypiperidin-4-yl)methoxy]phenyl]-4-hydroxy-
6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-88-5P,
2-Chloro-4-hydroxy-3-[4-[(4-hydroxypiperidin-4-yl)methoxy]phenyl]-6-oxo-

6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-90-9P,
4-Hydroxy-3-[5-(3-methoxyprop-1-ynyl)thien-2-yl]-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-91-0P,
4-Hydroxy-3-[5-(5-hydroxypent-1-ynyl)thien-2-yl]-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-92-1P,
3-[4-[(1-Acetyl-4-hydroxypiperidin-4-yl)methoxy]phenyl]-2-chloro-4-hydroxy-
6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-93-2P,
2-Bromo-4-hydroxy-3-[4-(4-hydroxybut-1-ynyl)phenyl]-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-95-4P,
4-Hydroxy-3-[5-(3-hydroxyprop-1-ynyl)thien-2-yl]-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-96-5P,
2-Chloro-4-hydroxy-3-[4-[(4-hydroxy-1-isobutylpiperidin-4-
yl)methoxy]phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile
844500-97-6P, 4-Hydroxy-3-[4-(4-hydroxybut-1-enyl)phenyl]-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-98-7P,
4-Hydroxy-6-oxo-3-[5-(1,2,3,6-tetrahydropyridin-4-yl)thien-2-yl]-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-04-8P 844501-06-0P,
4-Hydroxy-6-oxo-3-[5-(2-oxo-2,3-dihydro-1H-indol-5-yl)thien-2-yl]-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-07-1P,
3-[5-(4-Cyanophenyl)thien-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-
b]pyridine-5-carbonitrile 844501-08-2P, 2-Chloro-3-[4-[(1-cyclopropyl-4-
hydroxypiperidin-4-yl)methoxy]phenyl]-4-hydroxy-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-09-3P,
3-[4-(4-Fluoro-2-hydroxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-10-6P,
4-[5-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)thien-2-
yl]benzoic acid 844501-11-7P, 3-[5-(3-Aminophenyl)thien-2-yl]-4-hydroxy-
6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-12-8P,
4-Hydroxy-3-(2'-hydroxy-1,1'-biphenyl-4-yl)thieno[2,3-b]pyridin-6(7H)-one
844501-18-4P, 3-[5-(4-Acetylphenyl)thien-2-yl]-4-hydroxy-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-19-5P,
4-Hydroxy-6-oxo-3-(4-vinylphenyl)-6,7-dihydrothieno[2,3-b]pyridine-5-
carbonitrile 844501-20-8P, 3-[5-(2,4-Dihydroxyphenyl)thien-2-yl]-4-
hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile
844501-22-0P, 3-[3-(Allyloxy)phenyl]-2-bromo-4-hydroxy-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-24-2P,
3-[5-[3-(Dimethylamino)prop-1-ynyl]thien-2-yl]-4-hydroxy-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-25-3P 844501-26-4P,
4-Hydroxy-6-oxo-3-[5-(pyridin-2-yl)thien-2-yl]-6,7-dihydrothieno[2,3-
b]pyridine-5-carbonitrile 844501-28-6P, 4-Hydroxy-3-(2'-hydroxy-1,1'-
biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carboxaldehyde
oxime 844501-30-0P, 3-[3-(Allyloxy)phenyl]-2-chloro-4-hydroxy-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-31-1P,
3-[4-(5-Bromo-2,4-dihydroxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-32-2P,
3-[4-(4,6-Dimethyl-2-hydroxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-33-3P,
N-[4-[5-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-
yl)thien-2-yl]-2-fluorophenyl]acetamide 844501-36-6P 844501-41-3P,
2-Chloro-4-hydroxy-3-(5-methyl-2-furyl)-6-oxo-6,7-dihydrothieno[2,3-
b]pyridine-5-carbonitrile 844501-42-4P, 4-Hydroxy-3-(3-hydroxyphenyl)-6-
oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-44-6P,
2-Chloro-3-[4-[(1-cyclopentyl-4-hydroxypiperidin-4-yl)methoxy]phenyl]-4-
hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile
844501-46-8P, 3-[4-(2,5-Dihydroxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-48-0P,
3-[4-(2,3-Dihydroxypropoxy)-4-hydroxy]-2-methyl-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-50-4P,
3-[4-(Allyloxy)phenyl]-2-bromo-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-
b]pyridine-5-carbonitrile 844501-54-8P, 3-[5-(1-Acetyl-1,2,3,6-

tetrahydropyridin-4-yl)thien-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-56-0P, 3-[5-[4-(Allyloxy)phenyl]thien-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-60-6P 844501-61-7P, 4-Hydroxy-3-[5-(3-methoxyprop-1-ynyl)-4-vinylthien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-64-0P, 3-[4-(6-Chloro-2-hydroxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-65-1P, 2-Chloro-3-[4-[(1-cyclobutyl-4-hydroxypiperidin-4-yl)methoxy]phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-66-2P, 3-(3,5-Dichloro-4-hydroxyphenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-69-5P 844501-70-8P, 4-Hydroxy-6-oxo-3-[4-(1H-pyrazol-3-yl)phenyl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-72-0P, 3-[5-[4-(2,3-Dihydroxypropoxy)phenyl]thien-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-73-1P, 2-Bromo-4-hydroxy-3-[4-(5-hydroxypent-1-ynyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-75-3P, 4-Hydroxy-6-oxo-3-(5,6,7,8-tetrahydronaphthalen-2-yl)-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-76-4P 844501-77-5P, N-[3-Chloro-4-[5-(5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)thien-2-yl]phenyl]acetamide 844501-79-7P, 2-[4-(2-Chloro-5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)phenoxy]-N-(3-hydroxypropyl)acetamide 844501-82-2P, 2-Chloro-3-[4-(cyanomethoxy)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-87-7P, 4-Hydroxy-3-[4-(3-methoxyprop-1-ynyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-88-8P, 4-Hydroxy-6-oxo-3-[4-[4-(pyrrolidin-1-yl)but-1-enyl]phenyl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-89-9P, 4-Hydroxy-3-[5-(4-hydroxyphenyl)-4-methylthien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-90-2P, 4-Hydroxy-3-(4-methylthien-2-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-91-3P, 2-Chloro-3-[4-(diallylamino)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-96-8P, 4-Hydroxy-3-[5-(1H-indol-5-yl)thien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-97-9P, 3-[4-(5-Cyanopent-1-ynyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-98-0P, 2-[4-(2-Chloro-5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)phenoxy]acetamide 844502-00-7P 844502-04-1P, 3-(2'-Amino-1,1'-biphenyl-4-yl)-2-chloro-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-05-2P, 4-Hydroxy-6-oxo-3-(1,2,3,4-tetrahydronaphthalen-2-yl)-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-06-3P, 4-Hydroxy-3-[5-(4-nitrophenyl)thien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-07-4P, 6-[4-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)phenyl]hexan-5-ynoic acid 844502-08-5P, 3-[4-(4-Cyanobut-1-ynyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-09-6P 844502-10-9P, 3-(2,3-Dihydro-1,4-benzodioxin-6-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-11-0P, N-[4-[5-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)-2-phenylthien-3-yl]phenyl]methanesulfonamide 844502-12-1P, 4-Hydroxy-6-oxo-3-(5-vinylthien-2-yl)-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-13-2P, 4-Hydroxy-6-oxo-3-[5-(pyrazin-2-yl)thien-2-yl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-14-3P 844502-15-4P 844502-16-5P, 3-(2,3-Dihydro-1H-inden-5-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-17-6P, 4-Hydroxy-3-[5-(4-hydroxybut-1-ynyl)thien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-18-7P 844502-19-8P, 2-[4-(2-Chloro-5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)phenoxy]-N-methylacetamide 844502-20-1P, N-[4-[5-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)-3-methylthien-2-

yl]phenyl]methanesulfonamide 844502-21-2P, 4-Hydroxy-3-[4-(3-hydroxy-3-methylbut-1-ynyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-22-3P 844502-23-4P 844502-28-9P, 5-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)-N-[2-(dimethylamino)ethyl]thiophene-2-carboxamide 844502-34-7P, 5-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-2-yl)-N-[2-(dimethylamino)ethyl]thiophene-2-carboxamide trifluoroacetate 844502-35-8P, 4-Hydroxy-3-[4-[3-(methylamino)prop-1-ynyl]phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-36-9P 844502-37-0P 844502-38-1P, 4-Hydroxy-6-oxo-3-[5-(pyridin-3-yl)thien-2-yl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-39-2P, 2-Bromo-4-hydroxy-6-oxo-3-phenyl-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-40-5P, 7-(5-Cyano-4-hydroxy-6-oxo-3-phenyl-6,7-dihydrothieno[2,3-b]pyridin-2-yl)heptan-6-ynoic acid 844502-41-6P, 3-[4-(Allyloxy)phenyl]-4-hydroxy-2-methyl-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-44-9P, 2-[4-(2-Chloro-5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)phenoxy]-N-[3-(2-oxopyrrolidin-1-yl)propyl]acetamide 844502-45-0P, 4-Hydroxy-3-[4-(4-hydroxyphenyl)-5-phenylthien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-46-1P

3-(3,5-Dibromo-4-hydroxyphenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-51-8P 844502-52-9P, 4-Hydroxy-6-oxo-3-[4-[3-(tetrahydrofuran-3-yloxy)prop-1-ynyl]phenyl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-53-0P, 2-[4-(2-Chloro-5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)phenoxy]-N-[3-(1H-imidazol-1-yl)propyl]acetamide 844502-54-1P, 3-(1,3-Benzodioxol-5-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-55-2P 844502-56-3P 844502-62-1P, 4-Hydroxy-6-oxo-3-((E)-2-phenylethenyl)-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-70-1P, 4-Hydroxy-6-oxo-3-(phenylethynyl)-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-75-6P, N-[3-[4-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)phenyl]prop-2-ynyl]methanesulfonamide 844502-76-7P, 4-Hydroxy-3-[4-(4-hydroxybutyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-77-8P, 3-[4-(4-Azidobut-1-ynyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-78-9P, 4-Hydroxy-3-[4-(5-hydroxypentyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-82-5P, 3-(2'-Fluoro-6'-hydroxy-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-83-6P, 4-Hydroxy-3-[4-((1E)-4-hydroxybut-1-enyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-84-7P, 4-Hydroxy-6-oxo-3-[5-phenyl-4-(pyridin-3-yl)thien-2-yl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-85-8P, 3-[4-(2,3-Dihydroxypropoxy)phenyl]-4-hydroxy-2-methyl-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-86-9P, 4-Hydroxy-6-oxo-3-[4-[(1E)-4-(pyrrolidin-1-yl)but-1-enyl]phenyl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-87-0P, 4-Hydroxy-3-(3-methyl-1-benzothiophen-2-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted thieno[2,3-b]pyridones as activators for AMP-activated kinase for treatment of diabetes and obesity)

IT 70-70-2, 1-(4-Hydroxyphenyl)propan-1-one 78-84-2, Isobutyraldehyde 98-80-6, Phenylboronic acid 99-90-1 99-91-2 99-93-4, 4'-Hydroxyacetophenone 100-19-6 100-39-0, Benzyl bromide 105-36-2, Ethyl bromoacetate 105-53-3, Diethyl malonate 105-56-6, Ethyl cyanoacetate 106-95-6, Allyl bromide, reactions 107-19-7,

2-Propyn-1-ol 107-30-2, Chloromethyl methyl ether 108-00-9,
N,N-Dimethylethylenediamine 109-55-7, N,N-Dimethylpropane-1,3-diamine
115-19-5, 2-Methylbut-3-yn-2-ol 120-92-3, Cyclopentanone 121-71-1
122-57-6, 4-Phenylbut-3-en-2-one 123-38-6, Propionaldehyde, reactions
123-75-1, Pyrrolidine, reactions 156-87-6, 3-Aminopropan-1-ol
351-30-4, N-(4-Bromo-3-fluorophenyl)acetamide 372-09-8, Cyanoacetic acid
403-42-9 536-74-3, Phenylacetylene 590-17-0, Bromoacetone nitrile
591-50-4, Iodobenzene 600-22-6, Methyl pyruvate 623-71-2 627-41-8,
Methyl propargyl ether 709-63-7, 4-(Trifluoromethyl)acetophenone
768-35-4, 3-Fluorophenylboronic acid 774-55-0, 1-(5,6,7,8-
Tetrahydronaphthalen-2-yl)ethanone 775-00-8, 2-(4-
Trifluoromethylphenyl)ethylamine 927-74-2, 3-Butyn-1-ol 934-98-5,
2-(4-Methylpiperazin-1-yl)ethylamine 1001-53-2, N-(2-
Aminoethyl)acetamide 1191-95-3, Cyclobutanone 1193-79-9,
1-(5-Methylfuran-2-yl)ethanone 1679-18-1, 4-Chlorophenylboronic acid
1765-93-1, 4-Fluorophenylboronic acid 1993-03-9, 2-Fluorophenylboronic
acid 2142-63-4, 3-Bromoacetophenone 2413-00-5, 4-(2-Aminoethyl)-2,6-
dimethoxyphenol 2622-05-1, Allylmagnesium chloride 2706-56-1,
2-(Pyridin-2-yl)ethylamine 2879-20-1, 1-(2,3-Dihydrobenzo[1,4]dioxin-6-
yl)ethanone 2987-16-8, 3,3-Dimethylbutanal 3162-29-6,
1-Benzo[1,3]dioxol-5-ylethanone 3332-29-4, O-Ethylhydroxylamine
hydrochloride 3609-53-8, Methyl 4-acetylbenzoate 3731-51-9,
((Pyridin-2-yl)methyl)amine 3731-52-0, [(Pyridin-3-yl)methyl]amine
3900-89-8, 2-Chlorophenylboronic acid 4066-41-5, 5-Acetylthiophene-2-
carboxylic acid 4228-10-8, 1-(Indan-5-yl)ethanone 4347-31-3,
2-Formylthiophene-3-boronic acid 5036-48-6, 3-(Imidazol-1-yl)propylamine
5162-44-7, 4-Bromobut-1-ene 5370-25-2, 1-(5-Bromothiophen-2-yl)ethanone
5379-16-8, 3,5-Dimethylacetophenone 5390-04-5, 4-Pentyn-1-ol
5570-18-3, 2-Aminophenylboronic acid 5720-06-9, 2-Methoxyphenylboronic
acid 5720-07-0, 4-Methoxyphenylboronic acid 6001-87-2 6165-69-1,
3-Thiopheneboronic acid 6626-15-9, 4-Bromobenzene-1,3-diol 6638-79-5,
N,O-Dimethylhydroxylamine hydrochloride 7209-11-2, 1-(4-Bromothiophen-2-
yl)ethanone 7223-38-3, N,N-Dimethylpropargylamine 7486-35-3,
Vinyltributyltin 7663-77-6, 1-(3-Aminopropyl)pyrrolidin-2-one
10365-98-7, 3-Methoxyphenylboronic acid 13329-40-3, 4-Iodoacetophenone
13679-73-7, 1-(4-Methylthiophene-2-yl)ethanone 14064-10-9, Ethyl
chloromalonate 14918-21-9, 5-Hexynenitrile 16130-58-8, Cyanoacetic
acid chloride 16419-60-6, 2-Methylphenylboronic acid 17933-03-8,
3-Methylphenylboronic acid 17997-47-6, 2-(Tributylstannyl)pyridine
19596-07-7, 4-Pentynenitrile 20870-78-4, 5-Bromo-1,3-dihydroindol-2-one
22459-81-0, N-(4-Bromo-3-chlorophenyl)acetamide 23112-96-1,
2,6-Dimethoxyphenylboronic acid 24067-17-2, 4-Nitrophenylboronic acid
27374-25-0, [(1-Ethoxycyclopropyl)oxy]trimethylsilane 28611-39-4,
4-(Dimethylamino)phenylboronic acid 30418-59-8, 3-Aminophenylboronic
acid 35161-71-8, Methylprop-2-ynylamine 40972-86-9 45588-79-2,
((Pyrimidin-4-yl)methyl)amine 51067-38-0, 4-Phenoxyphenylboronic acid
51387-90-7, 2-(1-Methylpyrrolidin-2-yl)ethylamine 53440-12-3,
1,2,3,4-Tetrahydronaphthalene-2-carboxylic acid 53448-09-2,
(2R)-2-Amino-4-methylpentan-1-ol 55499-43-9, 3,4-Dimethylphenylboronic
acid 59016-93-2, 4-Hydroxymethylphenylboronic acid 59020-10-9,
3-(Tributylstannyl)pyridine 61278-21-5, (2S)-3-Aminopropane-1,2-diol
63503-60-6, 3-Chlorophenylboronic acid 65234-09-5, 2-Amino-4-(4-
chlorophenyl)thiophene-3-carboxylic acid ethyl ester 68716-47-2,
2,4-Dichlorophenylboronic acid 68832-13-3, D-Prolinol 71597-85-8,
4-Hydroxyphenylboronic acid 73183-34-3 78495-63-3,
6-Fluoro-2-methoxyphenylboronic acid 78887-39-5, 3-
Acetamidophenylboronic acid 79099-07-3, 4-Oxopiperidine-1-carboxylic
acid tert-butyl ester 89466-08-0, 2-Hydroxyphenylboronic acid
90965-06-3 93501-84-9, N-(Prop-2-ynyl)methanesulfonamide 94839-07-3,
3,4-(Methylenedioxy)phenylboronic acid 99768-12-4, 4-

(Methoxycarbonyl)benzeneboronic acid 105922-68-7, 3-[(Prop-2-ynyl)oxy]tetrahydrofuran 107099-99-0, 2,5-Dimethoxyphenylboronic acid 124252-41-1, 4-(Tributylstannyl)pyridine 126747-14-6, 4-Cyanophenylboronic acid 128796-39-4, 4-(Trifluoromethyl)phenylboronic acid 133726-09-7, 4-Hydroxy-6-oxo-3-phenyl-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 133730-34-4, 2,4-Dimethoxyphenylboronic acid 144025-03-6, 2,4-Difluorophenylboronic acid 144104-59-6, (1H-Indole-5-yl)boronic acid 149104-88-1, 4-(Methanesulfonyl)benzeneboronic acid 149104-90-5, 4-Acetylphenylboronic acid 151169-74-3, 2,3-Dichlorophenylboronic acid 179899-07-1, 4-Fluoro-2-methoxyphenylboronic acid 183158-34-1, 2,3-Dimethylphenylboronic acid 193978-23-3, 4,4,5,5-Tetramethyl-2-thiophen-2-yl-[1,3,2]dioxaborolane 204841-19-0, 3-Acetylphenylboronic acid 205371-27-3, 2-(Tributylstannyl)pyrazine 214360-51-7, 4-(4,4,5,5-Tetramethyl-[1,3,2]dioxaborolan-2-yl)benzenesulfonamide 214360-60-8, N-[4-(4,4,5,5-Tetramethyl-[1,3,2]dioxaborolan-2-yl)phenyl]acetamide 214360-76-6, 3-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)phenol 269409-70-3, 4-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)phenol 269409-97-4, 2-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)phenol 330793-01-6, [4-(4,4,5,5-Tetramethyl-[1,3,2]dioxaborolan-2-yl)phenyl]carbamic acid tert-butyl ester 355836-08-7, 4,6-Dimethyl-2-methoxybenzeneboronic acid 380430-49-9, 4-[(tert-Butoxycarbonyl)amino]benzeneboronic acid 380430-57-9, 4-[(Methanesulfonyl)amino]phenylboronic acid 385370-80-9, 6-Chloro-2-methoxyphenylboronic acid 762287-59-2, 3-Fluoro-2-methoxyphenylboronic acid 844499-64-5 844500-33-0, 4-Hydroxy-3-(4-iodophenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-75-0, [4-(4,4,5,5-Tetramethyl-[1,3,2]dioxaborolan-2-yl)phenyl]carbamic acid methyl ester 844500-89-6 844501-00-4 844501-38-8, 1-[4-[[[(tert-Butyldiphenylsilyl)oxy]methyl]phenyl]ethanone 844501-71-9, 3-(4,4,5,5-Tetramethyl-[1,3,2]dioxaborolan-2-yl)-1H-pyrazole
 RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted thieno[2,3-b]pyridones as activators for AMP-activated kinase for treatment of diabetes and obesity)

IT 2079-53-0P, 1-(4-Allyloxyphenyl)ethanone 2089-23-8P, 1-(4-Allyloxyphenyl)propan-1-one 2887-72-1P 4815-32-1P, 2-Amino-5-methylthiophene-3-carboxylic acid ethyl ester 6739-22-6P, 1-(2-Phenylcyclopropyl)ethanone 7255-63-2P, 1-But-3-enylpyrrolidine 10537-63-0P, 1-(4-Vinylphenyl)ethanone 17044-70-1P, 3',5'-Dichloro-4'-hydroxyacetophenone 51828-69-4P, [4-(Acetyl)phenoxy]acetic acid ethyl ester 54696-05-8P, 4-Benzyloxyacetophenone 58621-54-8P, 1-(3-Allyloxyphenyl)ethanone 70013-38-6P, 1-[5-(4-Hydroxyphenyl)thien-2-yl]ethanone 85699-00-9P, 1-(4-Methoxymethoxyphenyl)ethanone 120110-62-5P 147804-30-6P 160984-14-5P, (4-Acetylphenoxy)acetonitrile 306934-99-6P, 2-Amino-4-(4-bromophenyl)thiophene-3-carboxylic acid ethyl ester 590376-44-6P, 2-(2-Cyanoacetyl-amino)-5-methylthiophene-3-carboxylic acid ethyl ester 837392-64-0P, 5-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)-1,3-dihydro-2H-indol-2-one 844499-49-6P, 2-Amino-4-(3,5-dimethylphenyl)thiophene-3-carboxylic acid ethyl ester 844499-50-9P, 2-(2-Cyanoacetyl-amino)-4-(3,5-dimethylphenyl)thiophene-3-carboxylic acid ethyl ester 844499-62-3P, 4-(4-Chlorophenyl)-2-[[2-(ethoxycarbonyl)acetyl]amino]thiophene-3-carboxylic acid ethyl ester 844499-63-4P, 3-(4-Chlorophenyl)-4-hydroxy-7H-thieno[2,3-b]pyridin-6-one 844500-01-2P, 4-(4-Bromophenyl)-5-chloro-2-(2-cyanoacetyl-amino)thiophene-3-carboxylic acid ethyl ester 844500-24-9P, 2-Amino-4-(4-benzyloxy-3,5-dichlorophenyl)thiophene-3-carboxylic acid ethyl ester 844500-25-0P, 4-(4-Benzyloxy-3,5-dichlorophenyl)-2-[[2-(methoxycarbonyl)acetyl]amino]thiophene-3-carboxylic acid ethyl ester 844500-26-1P, 3-(3,5-Dichloro-4-benzyloxyphenyl)-4-hydroxy-7H-thieno[2,3-b]pyridin-6-one 844500-32-9P, 3-[4-(2,3-Dimethoxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-

b]pyridine-5-carbonitrile 844500-35-2P, 2-Amino-4-(4-benzyloxyphenyl)thiophene-3-carboxylic acid ethyl ester 844500-36-3P, 4-(4-Benzyloxyphenyl)-2-[[2-(ethoxycarbonyl)acetyl]amino]thiophene-3-carboxylic acid ethyl ester 844500-37-4P, 3-(4-Benzyloxyphenyl)-4-hydroxy-7H-thieno[2,3-b]pyridin-6-one 844500-38-5P, 3-(4-Hydroxyphenyl)-4-hydroxy-7H-thieno[2,3-b]pyridin-6-one 844500-41-0P, Ethyl 5'-amino-5-bromo-2,3'-bithiophene-4'-carboxylate 844500-42-1P, Ethyl 5-bromo-5'-[(cyanoacetyl)amino]-2,3'-bithiophene-4'-carboxylate 844500-50-1P, Ethyl 5'-amino-5-bromo-2'-chloro-2,3'-bithiophene-4'-carboxylate 844500-51-2P, Ethyl 5-bromo-2'-chloro-5'-[(cyanoacetyl)amino]-2,3'-bithiophene-4'-carboxylate 844500-52-3P, 3-(5-Bromothien-2-yl)-2-chloro-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-55-6P, 2-Cyano-3-[4-[(ethoxycarbonyl)methoxy]phenyl]but-2-enoic acid ethyl ester 844500-56-7P, 2-Amino-4-[4-[(ethoxycarbonyl)methoxy]phenyl]thiophene-3-carboxylic acid ethyl ester hydrochloride 844500-57-8P, 4-[4-[(2-Allyl-2-hydroxypent-4-enyl)oxy]phenyl]-2-aminothiophene-3-carboxylic acid ethyl ester 844500-58-9P, 4-[4-[(2-Allyl-2-hydroxypent-4-enyl)oxy]phenyl]-2-(2-cyanoacetyl)aminothiophene-3-carboxylic acid ethyl ester 844500-59-0P, 4-[4-[(2-Allyl-2-hydroxypent-4-enyl)oxy]phenyl]-5-chloro-2-(2-cyanoacetyl)aminothiophene-3-carboxylic acid ethyl ester 844500-60-3P, 5-Chloro-2-(2-cyanoacetyl)amino-4-[4-[(1-hydroxycyclopent-3-enyl)methoxy]phenyl]thiophene-3-carboxylic acid ethyl ester 844500-77-2P, 2-Amino-4-[4-(2-hydroxy-2-methylpropoxy)phenyl]thiophene-3-carboxylic acid ethyl ester 844500-78-3P, 4-[4-(2-Hydroxy-2-methylpropoxy)phenyl]-2-(2-cyanoacetyl)aminothiophene-3-carboxylic acid ethyl ester 844500-79-4P, 4-[4-(2-Hydroxy-2-methylpropoxy)phenyl]-5-chloro-2-(2-cyanoacetyl)aminothiophene-3-carboxylic acid ethyl ester 844500-85-2P, 2-(2-Cyanoacetyl)amino-4-iodo-5-methylthiophene-3-carboxylic acid ethyl ester 844500-86-3P, 4-Hydroxy-3-iodo-2-methyl-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-99-8P, tert-Butyl 4-[5-(5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)thien-2-yl]-3,6-dihydropyridine-1(2H)-carboxylate 844501-01-5P, 2-Amino-4-(4-allyloxyphenyl)thiophene-3-carboxylic acid ethyl ester 844501-02-6P, 4-(4-Allyloxyphenyl)-2-(2-cyanoacetyl)aminothiophene-3-carboxylic acid ethyl ester 844501-03-7P, 4-(4-Allyloxyphenyl)-5-chloro-2-(2-cyanoacetyl)aminothiophene-3-carboxylic acid ethyl ester 844501-14-0P, 2-Amino-4-(2-phenylcyclopropyl)thiophene-3-carboxylic acid ethyl ester 844501-15-1P, 2-Cyano-3-(2-phenylcyclopropyl)but-2-enoic acid ethyl ester 844501-16-2P, 2-(2-Cyanoacetyl)amino-4-(2-phenylcyclopropyl)thiophene-3-carboxylic acid ethyl ester 844501-17-3P, 5-Chloro-2-(2-cyanoacetyl)amino-4-(2-phenylcyclopropyl)thiophene-3-carboxylic acid ethyl ester 844501-21-9P, 4-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)benzene-1,3-diol 844501-23-1P, 3-[3-(Allyloxy)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-27-5P, 5'-(Cyanoacetyl)amino-5-(pyridin-2-yl)-[2,3']bithienyl-4'-carboxylic acid ethyl ester 844501-29-7P 844501-35-5P, N-[3-Fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]acetamide 844501-37-7P, 4-Hydroxy-3-(4-hydroxymethylphenyl)-6-oxo-3a,6,7,7a-tetrahydrothieno[2,3-b]pyridine-5-carbonitrile 844501-39-9P, 3-(4-Formylphenyl)-4-hydroxy-6-oxo-3a,6,7,7a-tetrahydrothieno[2,3-b]pyridine-5-carbonitrile 844501-40-2P, [[4-(5-Cyano-4-hydroxy-6-oxo-3a,6,7,7a-tetrahydrothieno[2,3-b]pyridin-3-yl)benzylidene]amino]oxy]acetic acid 844501-57-1P, 1-[5-[4-(Allyloxy)phenyl]thien-2-yl]ethanone 844501-58-2P, Ethyl 5-[4-(allyloxy)phenyl]-5'-amino-2,3'-bithiophene-4'-carboxylate 844501-59-3P, Ethyl 5-[4-(allyloxy)phenyl]-5'-[(cyanoacetyl)amino]-2,3'-bithiophene-4'-carboxylate 844501-63-9P, 3-(4-Bromo-5-iodothiophen-2-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-67-3P, 4-(4-Benzyloxy-3,5-dichlorophenyl)-2-(2-

cyanoacetyl amino)thiophene-3-carboxylic acid ethyl ester 844501-68-4P,
3-(4-Benzoyloxy-3,5-dichlorophenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-
b]pyridine-5-carbonitrile 844501-78-6P, N-[3-Chloro-4-(4,4,5,5-
tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]acetamide 844501-80-0P,
2-[4-(2-Chloro-5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-
yl)phenoxy]acetic acid 844501-81-1P, 2-Amino-4-[4-
[(ethoxycarbonyl)methoxy]phenyl]-5-chlorothiophene-3-carboxylic acid ethyl
ester 844501-83-3P, 2-Cyano-3-(4-cyanomethoxyphenyl)-but-2-enoic acid
ethyl ester 844501-84-4P, 2-Amino-4-(4-cyanomethoxyphenyl)thiophene-3-
carboxylic acid ethyl ester 844501-85-5P, 2-(2-Cyanoacetyl amino)-4-(4-
cyanomethoxyphenyl)thiophene-3-carboxylic acid ethyl ester 844501-86-6P,
2-(2-Cyanoacetyl amino)-4-(4-cyanomethoxyphenyl)-5-chlorothiophene-3-
carboxylic acid ethyl ester 844501-92-4P, 2-(2-Cyanoacetyl amino)-4-(4-
nitrophenyl)thiophene-3-carboxylic acid ethyl ester 844501-93-5P,
2-(2-Cyanoacetyl amino)-4-(4-nitrophenyl)-5-chlorothiophene-3-carboxylic
acid ethyl ester 844501-94-6P, 2-(2-Cyanoacetyl amino)-4-(4-aminophenyl)-
5-chlorothiophene-3-carboxylic acid ethyl ester 844501-95-7P,
2-(2-Cyanoacetyl amino)-4-[4-(diallylamino)phenyl]-5-chlorothiophene-3-
carboxylic acid ethyl ester 844501-99-1P, 2-Amino-4-[4-
[(ethoxycarbonyl)methoxy]phenyl]thiophene-3-carboxylic acid ethyl ester
844502-01-8P, 4-(4-Acetylphenoxy)methyl)-4-hydroxypiperidine-1-carboxylic
acid tert-butyl ester 844502-02-9P, 4-[[4-(2-Cyano-2-(ethoxycarbonyl)-1-
methyl ethenyl)phenyl]oxy)methyl]-4-hydroxypiperidine-1-carboxylic acid
tert-butyl ester 844502-03-0P, 4-[4-[5-Amino-4-(ethoxycarbonyl)thiophen-
3-yl]phenoxy]methyl)-4-hydroxypiperidine-1-carboxylic acid tert-butyl
ester 844502-24-5P, 5'-(2-Cyanoacetyl amino)-5-iodo-4-
methyl[2,3']bithiophene-4'-carboxylic acid ethyl ester 844502-25-6P,
1-(5-Iodo-4-methylthiophene-2-yl)ethanone 844502-26-7P,
2-Cyano-3-(5-iodo-4-methylthiophene-2-yl)but-2-enoic acid ethyl ester
844502-27-8P, 5'-Amino-5-iodo-4-methyl-[2,3']bithiophene-4'-carboxylic
acid ethyl ester 844502-29-0P, tert-Butyl 5-acetylthiophene-2-
carboxylate 844502-30-3P 844502-31-4P, 5'-[(Cyanoacetyl)amino]-4'-
(ethoxycarbonyl)-2,2'-bithiophene-5-carboxylic acid 844502-32-5P, Ethyl
5-[(cyanoacetyl)amino]-5'-[[[2-(dimethylamino)ethyl]amino]carbonyl]-2,2'-
bithiophene-4-carboxylate 844502-42-7P, 4-(4-Allyloxyphenyl)-2-amino-5-
methylthiophene-3-carboxylic acid ethyl ester 844502-43-8P,
4-(4-Allyloxyphenyl)-2-(2-cyanoacetyl amino)-5-methylthiophene-3-carboxylic
acid ethyl ester 844502-47-2P, 1-(4-Benzoyloxy-3,5-dibromophenyl)ethanone
844502-48-3P, 2-Amino-4-(4-benzoyloxy-3,5-dibromophenyl)thiophene-3-
carboxylic acid ethyl ester 844502-49-4P, 4-(4-Benzoyloxy-3,5-
dibromophenyl)-2-(2-cyanoacetyl amino)thiophene-3-carboxylic acid ethyl
ester 844502-50-7P, 3-(4-Benzoyloxy-3,5-dibromophenyl)-4-hydroxy-6-oxo-
6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-57-4P,
5-Acetyl-N-methoxy-N-methylthiophene-2-carboxamide 844502-58-5P, Ethyl
5-amino-5'-[[methoxy(methyl)amino]carbonyl]-2,2'-bithiophene-4-carboxylate
844502-59-6P, Ethyl 5'-acetyl-5-amino-2,2'-bithiophene-4-carboxylate
844502-60-9P, Ethyl 5'-acetyl-5-[(cyanoacetyl)amino]-2,2'-bithiophene-4-
carboxylate 844502-61-0P, 2-(5-Acetylthien-2-yl)-4-hydroxy-6-oxo-6,7-
dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-63-2P 844502-64-3P
844502-65-4P, 2-[(tert-Butoxycarbonyl)amino]-4-(hydroxymethyl)thiophene-3-
carboxylic acid ethyl ester 844502-66-5P, 2-[(tert-Butoxycarbonyl)amino]-
4-formylthiophene-3-carboxylic acid ethyl ester 844502-67-6P,
2-[(tert-Butoxycarbonyl)amino]-4-(2-phenylvinyl)thiophene-3-carboxylic
acid ethyl ester 844502-68-7P, 2-Amino-4-styrylthiophene-3-carboxylic
acid ethyl ester 844502-69-8P, 2-(2-Cyanoacetyl amino)-4-(2-
phenylethenyl)thiophene-3-carboxylic acid ethyl ester 844502-71-2P,
2-[(tert-Butoxycarbonyl)amino]-4-ethynylthiophene-3-carboxylic acid ethyl
ester 844502-72-3P, 2-Amino-4-ethynylthiophene-3-carboxylic acid ethyl
ester 844502-73-4P, 2-Amino-4-(phenylethynyl)thiophene-3-carboxylic acid
ethyl ester 844502-74-5P, 2-(2-Cyanoacetyl amino)-4-

(phenylethynyl)thiophene-3-carboxylic acid ethyl ester 844502-80-3P,
 4-(4-Bromophenyl)-2-[[2-(ethoxycarbonyl)acetyl]amino]thiophene-3-
 carboxylic acid ethyl ester 844502-81-4P, 3-(4-Bromophenyl)-4-hydroxy-6-
 oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carboxylic acid ethyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation of substituted thieno[2,3-b]pyridones as activators
 for AMP-activated kinase for treatment of diabetes and obesity)

L46 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1978:22478 CAPLUS

DOCUMENT NUMBER: 88:22478

TITLE: Cycloadditions. XXIII. Cycloadditions of organic
 azides to cyclopentadienones

AUTHOR(S): Hassner, Alfred; Anderson, David J.; Reuss,
 Robert H.

CORPORATE SOURCE: Dep. Chem., State Univ. New York, Binghamton, NY, USA
 SOURCE: Tetrahedron Letters (1977), (29), 2463-6

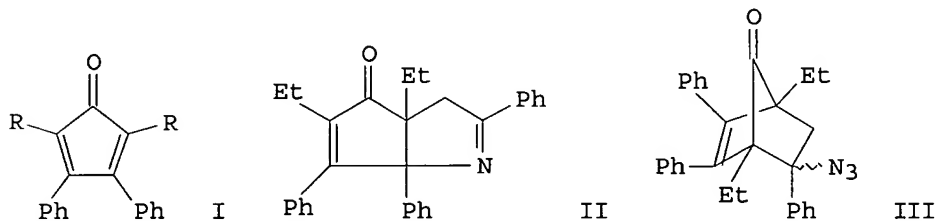
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 May 1984

GI



AB Thermal cycloaddn. of several types of organic azides with the
 cyclopentadienones I (R = Me, Et) gave heterocycles such as
 azabicyclo[3.3.0]octanones, -[3.2.1]octanones, -[3.1.0]hexanones,
 azidobicyclo[2.2.1]heptanones, and **pyridones**, depending on the
 structure of the azide substrate. E.g. PhCN₃:CH₂ with I (R = Et) in
 refluxing CHCl₃ gave 52% ketone II and 2% Diels-Alder adduct III.
 Electron withdrawing substituents on the azide speed up the reaction; the
 relative rates of p-O₂NC₆H₄CN₃:CH₂, PhCN₃:CH₂ and p-MeOC₆H₄CN₃:CH₂ are
 6:3:1.

CC 27-1 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 25

ST phenylvinyl azide cyclopentadienone cycloaddn; azabicyclooctane;
pyridone

L46 ANSWER 5 OF 20

MEDLINE on STN

DUPLICATE 1

ACCESSION NUMBER: 2006105823 IN-PROCESS

DOCUMENT NUMBER: PubMed ID: 16492149

TITLE: Structure-based optimization of MurF inhibitors.

AUTHOR: Stamper Geoffrey F; Longenecker Kenton L; Fry Elizabeth H;
 Jakob Clarissa G; Florjancic Alan S; Gu Yu-Gui;
 Anderson David D; Cooper Curt S; Zhang

Tianyuan; Clark Richard F; Cia Yingna; Black-Schaefer Candace L; Owen McCall J; Lerner Claude G; Hajduk Philip J; **Beutel Bruce A**; Stoll Vincent S
CORPORATE SOURCE: Global Pharmaceutical Research & Development, Department of Structural Biology, Abbott Laboratories, 100 Abbott Park Road, Abbott Park, IL 60064, USA..
geoffrey.stamper@abbott.com
SOURCE: Chemical biology & drug design, (2006 Jan) Vol. 67, No. 1, pp. 58-65.
Journal code: 101262549. ISSN: 1747-0277.
PUB. COUNTRY: England: United Kingdom
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: NONMEDLINE; IN-PROCESS; NONINDEXED; Priority Journals
ENTRY DATE: Entered STN: 23 Feb 2006
Last Updated on STN: 8 Mar 2006

ABSTRACT:

The D-Ala-D-Ala adding enzyme (MurF) from *Streptococcus pneumoniae* catalyzes the ATP-dependent formation of the UDP-MurNAc-pentapeptide, a critical component of the bacterial cell wall. MurF is a potential target for antibacterial design because it is unique to bacteria and performs an essential non-redundant function in the bacterial cell. The recent discovery and subsequent cocrystal structure determination of MurF in complex with a new class of inhibitors served as a catalyst to begin a medicinal chemistry program aimed at improving their potency. We report here a multidisciplinary approach to this effort that allowed for rapid generation of cocrystal structures, thereby providing the crystallographic information critical for driving the inhibitor optimization process. This effort resulted in the discovery of low-nanomolar inhibitors of this bacterial enzyme.

L46 ANSWER 6 OF 20 MEDLINE on STN DUPLICATE 3
ACCESSION NUMBER: 2004251088 MEDLINE
DOCUMENT NUMBER: PubMed ID: 15149694
TITLE: Novel inhibitors of bacterial protein synthesis: structure-activity relationships for 1,8-naphthyridine derivatives incorporating position 3 and 4 variants.
AUTHOR: Clark Richard F; Wang Sanyi; Ma Zhenkun; Weitzberg Moshe; Motter Christopher; Tufano Michael; **Wagner Rolf**; **Gu Yu-Gui**; Dandliker Peter J; Lerner Claude G; Chovan Linda E; Cai Yingna; Black-Schaefer Candace L; Lynch Linda; **Kalvin Douglas**; Nilius Angela M; Pratt Steve D; Soni Niru; Zhang Tianyuan; Zhang Xiaolin; **Beutel Bruce A**
CORPORATE SOURCE: Global Pharmaceutical Research and Development, Abbott Laboratories, Abbott Park, IL 60064, USA..
rick.clark@abbott.com
SOURCE: Bioorganic & medicinal chemistry letters, (2004 Jun 21) Vol. 14, No. 12, pp. 3299-302.
Journal code: 9107377. ISSN: 0960-894X.
PUB. COUNTRY: England: United Kingdom
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200501
ENTRY DATE: Entered STN: 20 May 2004
Last Updated on STN: 7 Jan 2005
Entered Medline: 6 Jan 2005

ABSTRACT:

Structure-activity relationships for a recently discovered novel ribosome inhibitor (NRI) class of antibacterials were investigated. Preliminary efforts

to optimize protein synthesis inhibitory activity of the series through modification of positions 3 and 4 of the naphthyridone lead template resulted in the identification of several biochemically potent analogues. A lack of corresponding whole cell antibacterial activity is thought to be a consequence of poor cellular penetration as evidenced by the enhancement of activity observed for a lead analogue tested in the presence of a cell permeabilizing agent.

CONTROLLED TERM: *Anti-Bacterial Agents: CH, chemistry
 Anti-Bacterial Agents: PD, pharmacology
 *Bacterial Proteins: AI, antagonists & inhibitors
 *Bacterial Proteins: BI, biosynthesis
 Gram-Negative Bacteria: DE, drug effects
 Gram-Negative Bacteria: GD, growth & development
 Gram-Positive Bacteria: DE, drug effects
 Gram-Positive Bacteria: GD, growth & development
 Microbial Sensitivity Tests
 *Naphthyridines: CH, chemistry
 Naphthyridines: PD, pharmacology
 *Protein Synthesis Inhibitors: CH, chemistry
 Protein Synthesis Inhibitors: PD, pharmacology
 Structure-Activity Relationship

CHEMICAL NAME: 0 (Anti-Bacterial Agents); 0 (Bacterial Proteins); 0
 (Naphthyridines); 0 (Protein Synthesis Inhibitors)

L46 ANSWER 7 OF 20 MEDLINE on STN DUPLICATE 4
ACCESSION NUMBER: 2003601472 MEDLINE
DOCUMENT NUMBER: PubMed ID: 14684340
TITLE: Structure-activity relationships of novel potent MurF
 inhibitors.
AUTHOR: **Gu Yu Gui**; Florjancic Alan S; Clark Richard F;
 Zhang Tianyuan; **Cooper Curt S**; **Anderson**
 David D; Lerner Claude G; McCall J Owen; Cai Yingna;
 Black-Schaefer Candace L; Stamper Geoffrey F; Hajduk Philip
 J; **Beutel Bruce A**
CORPORATE SOURCE: Infectious Disease Research, Global Pharmaceutical Research
 and Development, Abbott Laboratories, 200 Abbott Park Road,
 Abbott Park, IL 60064, USA.. yu-gui.y.gu@abbott.com
SOURCE: Bioorganic & medicinal chemistry letters, (2004 Jan 5) Vol.
 14, No. 1, pp. 267-70.
 Journal code: 9107377. ISSN: 0960-894X.
PUB. COUNTRY: England: United Kingdom
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200408
ENTRY DATE: Entered STN: 20 Dec 2003
 Last Updated on STN: 28 Aug 2004
 Entered Medline: 27 Aug 2004

ABSTRACT:

A novel class of MurF inhibitors was discovered and structure-activity relationship studies have led to several potent compounds with IC₅₀=22 approximately 70 nM. Unfortunately, none of these potent MurF inhibitors exhibited significant antibacterial activity even in the presence of bacterial cell permeabilizers.

CONTROLLED TERM: *Bacterial Proteins: AI, antagonists & inhibitors
 Bacterial Proteins: ME, metabolism
 *Enzyme Inhibitors: CH, chemistry
 *Enzyme Inhibitors: PD, pharmacology
 *Peptide Syntheses: AI, antagonists & inhibitors
 Peptide Syntheses: ME, metabolism

CHEMICAL NAME: Peptidoglycan: BI, biosynthesis
Structure-Activity Relationship
0 (Bacterial Proteins); 0 (Enzyme Inhibitors); 0
(Peptidoglycan); EC 6.3.2. (Peptide Synthases); EC 6.3.2.10
(UDP-N-acetylmuramoylalanyl-D-glutamyllysine-D-alanyl-D-
alanine ligase)

L46 ANSWER 8 OF 20 MEDLINE on STN
ACCESSION NUMBER: 2006375367 IN-PROCESS
DOCUMENT NUMBER: PubMed ID: 16789734
TITLE: Synthesis and Structure-Activity Relationships of
N-{3-[2-(4-Alkoxyphenoxy)thiazol-5-yl]-1-
methylprop-2-ynyl}carboxy Derivatives as Selective
Acetyl-CoA Carboxylase 2 Inhibitors.
AUTHOR: Gu Yu Gui; Weitzberg Moshe; Clark Richard F; Xu
Xiangdong; Li Qun; Zhang Tianyuan; Hansen T Matthew; Liu
Gang; Xin Zhili; Wang Xiaojun; Wang Rongqi; McNally Teresa;
Camp Heidi; Beutel Bruce A; Sham Hing L
CORPORATE SOURCE: Metabolic Disease Research, Global Pharmaceutical Research
and Development, Abbott Laboratories, 200 Abbott Park Road,
Abbott Park, Illinois 60064.
SOURCE: Journal of medicinal chemistry, (2006 Jun 29) Vol. 49, No.
13, pp. 3770-3.
Journal code: 9716531. ISSN: 0022-2623.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: NONMEDLINE; IN-DATA-REVIEW; IN-PROCESS; NONINDEXED;
Priority Journals
ENTRY DATE: Entered STN: 23 Jun 2006
Last Updated on STN: 23 Jun 2006

ABSTRACT:
A structurally novel acetyl-CoA carboxylase (ACC) inhibitor is identified from
high-throughput screening. A preliminary structure-activity relationship study
led to the discovery of potent dual ACC1/ACC2 and ACC2 selective inhibitors
against human recombinant ACC1 and ACC2. Selective ACC2 inhibitors exhibited
IC(50) < 20 nM and >1000-fold selectivity against ACC1. (S)-Enantiomer 9p
exhibited high ACC2 activity and lowered muscle malonyl-CoA dose-dependently in
acute rodent studies, whereas (R)-enantiomer 9o was weak and had no effect on
the malonyl-CoA level.

L46 ANSWER 9 OF 20 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN
ACCESSION NUMBER: 2005-745283 [76] WPIX
DOC. NO. CPI: C2005-227217
TITLE: New naphthyridine derivatives are bacterial protein
synthesis inhibitors to treat bacterial infections.
DERWENT CLASS: B02 B03 C02
INVENTOR(S): HINMAN, M M; ROSENBERG, T A; WAGNER, R
PATENT ASSIGNEE(S): (HINM-I) HINMAN M M; (ROSE-I) ROSENBERG T A; (WAGN-I)
WAGNER R
COUNTRY COUNT: 1
PATENT INFORMATION:

| PATENT NO | KIND DATE | WEEK | LA | PG MAIN IPC |
|---------------|-------------|-----------|----|----------------|
| US 2005234053 | A1 20051020 | (200576)* | | 22 A61K031-538 |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---------------|----------------|-----------------|----------|
| US 2005234053 | A1 Provisional | US 2004-542307P | 20040206 |
| | | US 2005-51907 | 20050204 |

PRIORITY APPLN. INFO: US 2004-542307P 20040206; US
2005-51907 20050204

INT. PATENT CLASSIF.:

MAIN: A61K031-538
SECONDARY: A61K031-4745; A61K031-497; A61K031-501; C07D471-14;
C07D491-14

BASIC ABSTRACT:

US2005234053 A UPAB: 20051125

NOVELTY - Naphthyridine derivatives (I) and their salts are new.

DETAILED DESCRIPTION - Naphthyridine derivatives of formula (I) and their salts are new.

R1 = OH, OR7, NH2, NHR7 or N(R7)2;

R2 = H or R-p;

R-p = -C(CH3)3, -O(CH2CH=CH2) or (2,4-dimethoxyphenyl)methyl;

R3 = H, R8, -C(O)R8, -C(O)OR8, R9, -C(O)OCH2R9 or R10;

R4 = H, -C(O)R11 or R11-R15;

R5 = H, -C(O)R16 or R16-R20;

R6 = H, R21, OH, OR21, NH2, NHR21 or -N(R21)2;

R7, R-8b, R-8d, R-9a, R-10a, R-11b, R-11d, R-12b, R-12d, R-13b, R-13d, R-14b, R-14d, R-15a, R-16b, R-16d, R-17b, R-17d, R-18b, R-18d, R-19b, R-19d, R-20a, R-21b, R-21d, R-23b, R-23d, R-24b, R-24d, R-25a = 1-6C alkyl;

R8 = 1-6C alkyl or R-8a;

R-8a = 1-6C alkyl (all substituted with 1-3 of F, Cl, Br, I, CF3, CF2CF3, OH, OR-8b, NH2, NHR-8b, N(R-8b)2 or R-8c substituents);

J = furanyl, imidazolyl, **isothiazolyl**, isoxazolyl, 1,2,3-oxadiazoyl, oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridinyl, pyrrolyl, **thiazolyl**, thiophenyl, triazinyl or 1,2,3-triazolyl;

J1 = benzene, furan, imidazole, **isothiazole**, isoxazole, 1,2,3-oxadiazole, oxazole, pyrazine, pyrazole, pyridazine, pyridine, pyrrole, **thiazole** or thiophene;

R-8c = phenyl or J (all optionally fused with J1) (all ring is optionally substituted with 1-3 of R-8d, F, Cl, Br, I, OH, OR-8d, NO2, NH2, NHR-8d or N(R-8d)2 substituents);

R9 = phenyl (optionally fused with benzene, cyclopentane, cyclopentene, cyclohexane or cyclohexene) (all ring is optionally substituted with 1-3 of R-9a, F, Cl, Br, I, OH, OR-9a, NO2, NH2, NHR-9a or -N(R-9a)2 substituents);

R10 = J (optionally fused with J1) (all ring is optionally substituted with 1-3 of R-10a, F, Cl, Br, I, OH, OR-10a, NO2, NH2, NHR-10a or N(R-10a)2 substituents);

R11 = 1-6C alkyl or R-11a;

R-11a = 1-6C alkyl (all substituted with 1-3 of F, Cl, Br, I, CF3, CF2CF3, OH, OR-11b, NH2, NHR-11b, N(R-11b)2 or R-11c substituents);

R-11c = phenyl or J (all optionally fused with J1) (all ring is optionally substituted with 1-3 of R-11d, F, Cl, Br, I, OH, OR-11d, NO2, NH2, NHR-11d or -N(R-11d)2 substituents);

Full definitions are given in DEFINITIONS (Full definitions) section.

ACTIVITY - Antibacterial. The antibacterial activity of (I) was tested in Streptococcus pneumoniae using a biological assay. The results showed that the antibacterial activity of (I) was superior to the control.

MECHANISM OF ACTION - Bacterial protein synthesis inhibitor.

USE - (I) are useful for treating bacterial infections in a fish or a mammal (claimed). (I) are useful for treating antibacterial-resistant bacterial infections and quinolone-resistant bacterial infections in a fish or a mammal.

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B06-D17; B14-A01; B14-L06; C06-D17; C14-A01; C14-L06
TECH UPTX: 20051125

TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preparation: Preparation of (I) comprises

(1) converting dihydro-naphthyridine compounds of formula (4) in the presence of lithium hydroxide to give acid-naphthyridine compounds of formula (4a);

(2) converting (4a) in the presence of diisopropylethylamine and secondary amine compounds of formula (4b) at 24degreesC in solvents (e.g. dimethylsulfoxide and tetrahydrofuran (THF)) to give ester-naphthyridine compounds of formula (5); and

(3) converting (5) in the presence of a base.

L46 ANSWER 10 OF 20 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN
ACCESSION NUMBER: 2004-339854 [31] WPIX
DOC. NO. CPI: C2004-128862
TITLE: New substituted 1,2,3,4-tetrahydroquinoline derivatives, useful for prophylaxis and treatment of bacterial infections e.g. quinoline-resistant bacterial infection in fish and mammals.
DERWENT CLASS: B02
INVENTOR(S): ANDERSON, D; BEUTEL, B; COOPER, C; DANDLIKER, P; DAVID, C; GU, Y; HINMAN, M; KALVIN, D; LYNCH, L; MA, Z; MOTTER, C; ROSENBERG, T; SANDERS, W; TUFANO, M; WAGNER, R; WEITZBERG, M; YONG, H
PATENT ASSIGNEE(S): (ANDE-I) ANDERSON D; (BEUT-I) BEUTEL B; (COOP-I) COOPER C; (DAND-I) DANDLIKER P; (DAVI-I) DAVID C; (GUYI-I) GU Y; (HINM-I) HINMAN M; (KALV-I) KALVIN D; (LYNC-I) LYNCH L; (MAZZ-I) MA Z; (MOTT-I) MOTTER C; (ROSE-I) ROSENBERG T; (SAND-I) SANDERS W; (TUFA-I) TUFANO M; (WAGN-I) WAGNER R; (WEIT-I) WEITZBERG M; (YONG-I) YONG H; (ABBO) ABBOTT LAB
COUNTRY COUNT: 1
PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN | IPC |
|---------------|------|----------|-----------|----|----|--------------|-----|
| US 2004072817 | A1 | 20040415 | (200431)* | | 11 | A61K031-4709 | |
| US 6818654 | B2 | 20041116 | (200475) | | | C07D215-00 | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---------------|----------------|-----------------|----------|
| US 2004072817 | A1 Provisional | US 2002-363480P | 20020312 |
| | | US 2003-386816 | 20030312 |
| US 6818654 | B2 Provisional | US 2002-363480P | 20020312 |
| | | US 2003-386816 | 20030312 |

PRIORITY APPLN. INFO: US 2002-363480P 20020312; US
2003-386816 20030312

INT. PATENT CLASSIF.:

MAIN: A61K031-4709; C07D215-00

SECONDARY: A61K031-47; C07D401-02; C07D403-02

BASIC ABSTRACT:

US2004072817 A UPAB: 20040514

NOVELTY - Substituted 1,2,3,4-tetrahydroquinoline derivatives (I) are new.

DETAILED DESCRIPTION - Substituted 1,2,3,4-tetrahydroquinoline derivatives of formula (I) are new.

One of R1 and R4 = OH or OR11 and the other = COOH or C(O)OR60;

R1, R2 = H; or

R1+R2 = O;

R3 = H, t-butyl, OCH2CH=CH2 or methyl substituted by 2,4-dimethoxyphenyl;

R5 = H, alkyl, halo, OH, CF3, CH2CF3 or CF2CF3;

R6 = H, halogen, alkyl, CN, NO2, C(O)H, ethynyl (optionally substituted by alkyl), C-CCl3 (sic), C-CCF3 (sic), CH=CH2 or OR11;

R7 = heterocyclyl selected from azetidiny, piperidiny, or pyrrolidiny (all optionally substituted by alkyl, NH2, OH or NHR35), halo, aryl selected from phenyl (optionally monosubstituted by halo), heteroaryl selected from furyl or thienyl, BiHet (optionally substituted by NH2, NHR35a or C(O)OR35a), NHR13 or NR13R14;

BiHet = six membered bicyclic heterocycle with one or two nitrogen atoms and two non-adjacent carbons attached by a covalent bond or CH2, seven or eight membered bicyclic heterocycle with two nitrogen atoms, eight-membered bicyclic heterocycle with 1 nitrogen atom and no double bonds or nine-membered bicyclic heterocycle with two nitrogen atoms and no double bonds;

R11 = alkyl (optionally substituted by halo, aryl, NH2 or (di)alkylamino) or C(O)R70;

R12-R14 = alkyl (optionally substituted by heterocyclyl, NH2 or (di)alkylamino);

R60, R70 = alkyl (optionally substituted by halo, aryl, NH2 or (di)alkylamino);

R35 = alkyl;

R35a = alkyl optionally substituted by phenyl.

An INDEPENDENT CLAIM is also included for the specific compounds:

(1) ethyl 7-(3-aminopyrrolidin-1-yl)-6-fluoro-3-hydroxy-4-oxo-1,2,3,4-tetrahydro-1,8-naphthyridine-3-carboxylate; and

(2) 7-(3-aminopyrrolidin-1-yl)-6-fluoro-3-hydroxy-4-oxo-1,2,3,4-tetrahydro-1,8-naphthyridine-3-carboxylic acid.

(Editor's note: These compounds are claimed as specifics of (I) but do not fit within the generic.)

ACTIVITY - Antibacterial.

Antibacterial activity of (I) against *Streptococcus pneumoniae* was determined visually by the broth microdilution method as described in the National Committee for Clinical Laboratory standards (1997), Methods for dilution antimicrobial susceptibility tests for bacteria that grow aerobically. The antibacterial activity of (I) was superior to a control containing no (I).

No results for specific compounds are given.

MECHANISM OF ACTION - Bacterial protein synthesis inhibitor; Bacterial growth inhibitor.

Bacterial protein synthesis inhibitory activity of (I) was determined by translation assays performed using the firefly luciferase reporter system as described in Murray et al., (2001), *Staphylococcus aureus* Cell Extract Transcription-Translation assay. (I) showed IC50 greater than 100 micro M. No results for specific compounds are given.

USE - For prophylaxis and treatment of bacterial infections e.g. quinoline-resistant bacterial infection in fish and mammal (claimed).

ADVANTAGE - (I) inhibits bacterial growth in fish or mammal.

Dwg.0/1

FILE SEGMENT: CPI
 FIELD AVAILABILITY: AB; GI; DCN
 MANUAL CODES: CPI: B06-D02; B06-D06; B14-A01; B14-S12
 TECH UPTX: 20040514

TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preparation: Preparation of (I')
 ((I): R1+R2 = O; R3 = H; A1 = COOH and R4 = OH) involves reacting
 1-tert-butyl-2,3-dihydro-1H-quinolin-4-one derivative of formula (II) with
 an acid (preferably hydrochloric acid, sulfuric acid and trifluoroacetic
 acid) at 25-110 degrees C for 3-24 hours at atmospheric or elevated
 pressures in solvent (preferably tetrahydrofuran (THF), 1,4-dioxane and/or
 water) to obtain a 2,3-dihydro-1H-quinolin-4-one derivative of formula
 (III) and reacting (III) with base (preferably lithium hydroxide, sodium
 hydroxide and potassium hydroxide) under the same conditions in solvent
 (preferably THF, 1,4-dioxane, methanol, ethanol, isopropanol,
 dichloromethane and/or water).

L46 ANSWER 11 OF 20 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 2004-167282 [16] WPIX

DOC. NO. CPI: C2004-066367

TITLE: New naphthyridine derivatives are bacterial protein
 synthesis inhibitors useful for treating antibacterial
 resistant bacterial infection.

DERWENT CLASS: B02

INVENTOR(S): ANDERSON, D; BEUTEL, B; BOSSE, T;
 CLARK, R; COOPER, C; DANDLIKER, P; DAVID, C;
 GU, Y; HANSEN, T; HINMAN, M;
 KALVIN, D; LARSON, D; LYNCH, L; MA, Z; MOTTER, C;
 PALAZZO, F; REHM, T; ROSENBERG, T; SANDERS, W; TUFANO, M;
 WAGNER, R; WEITZBERG, M; YONG, H; ZHANG, T; BOSSE, T D;
 HANSEN, T M; LARSON, D P

PATENT ASSIGNEE(S): (ANDE-I) ANDERSON D; (BEUT-I) BEUTEL B; (BOSS-I) BOSSE T
 D; (CLAR-I) CLARK R; (COOP-I) COOPER C; (DAND-I)
 DANDLIKER P; (DAVI-I) DAVID C; (GUYI-I) GU Y; (HANS-I)
 HANSEN T M; (HINM-I) HINMAN M; (KALV-I) KALVIN D;
 (LARS-I) LARSON D P; (LYNC-I) LYNCH L; (MAZZ-I) MA Z;
 (MOTT-I) MOTTER C; (PALA-I) PALAZZO F; (REHM-I) REHM T;
 (ROSE-I) ROSENBERG T; (SAND-I) SANDERS W; (TUFA-I) TUFANO
 M; (WAGN-I) WAGNER R; (WEIT-I) WEITZBERG M; (YONG-I) YONG
 H; (ZHAN-I) ZHANG T; (ABBO) ABBOTT LAB

COUNTRY COUNT: 30

PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN | IPC |
|---------------|------|---|-----------|----|-----|-------------|-----|
| US 2003232818 | A1 | 20031218 | (200416)* | | 118 | A61K031-541 | |
| WO 2004083207 | A1 | 20040930 | (200464) | EN | | C07D471-04 | |
| | RW: | AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LU MC NL PT SE | | | | | |
| | | SI SK TR | | | | | |
| | W: | CA JP MX | | | | | |
| EP 1631570 | A1 | 20060308 | (200618) | EN | | C07D471-04 | |
| | R: | AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LI LU MC NL PT | | | | | |
| | | SE SI SK TR | | | | | |
| MX 2005009688 | A1 | 20051201 | (200628) | | | A61K031-435 | |
| JP 2006514964 | W | 20060518 | (200635) | | 264 | C07D471-00 | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---------------|----------------|-----------------|----------|
| US 2003232818 | A1 Provisional | US 2002-363594P | 20020312 |

| | | | |
|---------------|----|----------------|----------|
| WO 2004083207 | A1 | US 2003-387318 | 20030312 |
| EP 1631570 | A1 | WO 2003-US7689 | 20030312 |
| | | EP 2003-723732 | 20030312 |
| | | WO 2003-US7689 | 20030312 |
| MX 2005009688 | A1 | WO 2003-US7689 | 20030312 |
| | | MX 2005-9688 | 20050909 |
| JP 2006514964 | W | WO 2003-US7689 | 20030312 |
| | | JP 2004-569641 | 20030312 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---------------|-------------|---------------|
| EP 1631570 | A1 Based on | WO 2004083207 |
| MX 2005009688 | A1 Based on | WO 2004083207 |
| JP 2006514964 | W Based on | WO 2004083207 |

PRIORITY APPLN. INFO: US 2002-363594P 20020312; US
2003-387318 20030312

INT. PATENT CLASSIF.:

MAIN: A61K031-435; A61K031-541; C07D471-00; C07D471-04
SECONDARY: A61K031-4353; A61K031-4375; A61K031-4427; A61K031-4439;
A61K031-444; A61K031-4745; A61K031-496; A61K031-519;
A61K031-5377; A61P031-00; A61P031-04; C07D471-02;
C07D519-00

BASIC ABSTRACT:

US2003232818 A UPAB: 20040324

NOVELTY - Naphthyridine derivatives (I) are new.

DETAILED DESCRIPTION - Naphthyridine derivatives of formula (I),
their prodrugs and salts are new.

one of R1 and R2 = absent or H and the other is H, OH or substituted
amino, or

R1 + R2 = =O;

R3 = e.g. absent, H, optionally substituted alkyl or CH2CF3;

L1 = a covalent bond, CO or (CH2)m;

m = 1-5;

R4 = e.g. H, aryl, NH2 or OH;

R5 = e.g. H, alkyl, aryl, heteroaryl, halo, OH, CF3 or CH2CF3;

R6 = e.g. H, halo, alkyl, N3, CN, CH2NH2, NO2 or C(O)H, and

R7 = e.g. halo, aryl, heteroaryl, heterocyclyl or bicyclic
heterocycloalkyl.

Full definitions are given in the DEFINITIONS (Full Definitions)
section.

ACTIVITY - Antibacterial.

MECHANISM OF ACTION - Bacterial protein synthesis inhibitor.

(I) Were tested for bacterial protein synthesis inhibitory activity
using translation assays performed using the firefly luciferase reporter
system described in Murray et al., (2001), Staphylococcus aureus Cell
Extract Transcription-Translation Assay: Firefly Luciferase Reporter
System for Evaluating Protein Translation Inhibitors. The IC50 of (I) was
found to be 0.01-40 mu M.

USE - Used for treating antibacterial-resistant bacterial infection
in fish or mammals (claimed), particularly quinolone-resistant bacterial
growth.

ADVANTAGE - (I) exhibit potent bacterial protein synthesis inhibitory
activity in vitro.

Dwg.0/0

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB; GI; DCN

MANUAL CODES: CPI: B06-D06; B14-A01; B14-L06; B14-S12

TECH UPTX: 20040305
TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preparation: No general preparation of (I) is given.

L46 ANSWER 12 OF 20 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN
ACCESSION NUMBER: 1997-478874 [44] WPIX
CROSS REFERENCE: 1997-225479 [20]
DOC. NO. CPI: C1997-152044
TITLE: New pyrrolidine derivatives - are useful as intermediates in production of e.g. 7-substituted quinolone and 8-substituted 2-pyridone antibiotics.
DERWENT CLASS: B03
INVENTOR(S): CHU, D T; COOPER, C S; FUNG, A K L; MA, Z
PATENT ASSIGNEE(S): (ABBO) ABBOTT LAB
COUNTRY COUNT: 1
PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN | IPC |
|------------|------|----------|-----------|----|----|------------|-----|
| US 5668164 | A | 19970916 | (199744)* | | 13 | C07D413-06 | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|------------|----------|----------------|----------|
| US 5668164 | A Div ex | US 1996-679043 | 19960712 |
| | | US 1996-764418 | 19961212 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|------------|----------|------------|
| US 5668164 | A Div ex | US 5618949 |

PRIORITY APPLN. INFO: US 1996-679043 19960712; US
1996-764418 19961212

INT. PATENT CLASSIF.:

MAIN: C07D413-06

BASIC ABSTRACT:

US 5668164 A UPAB: 19971105
Pyrrolidine derivatives of formulae (Ia)-(Id) are new. Bn = benzyl; R = 1-6C alkyl, 3-5C cycloalkyl, phenyl or phenyl-substituted(1-6C)alkyl; R1 = isopropyl, isobutyl, tert-butyl, phenyl, benzyl, 1-phenylethyl, diphenylmethyl, naphthyl or adamantyl; * = a chiral centre.

USE - (Ia)-(Id) are useful in the preparation of chiral cis- and trans-3-(protected amino)-4- substituted pyrrolidine compounds, which are useful as intermediates in the preparation of antimicrobial pharmaceuticals, including 7-substituted quinolones and 8-substituted 2-pyridone antibiotics.

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B07-D03; B07-E01

L46 ANSWER 13 OF 20 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN
ACCESSION NUMBER: 1997-225479 [20] WPIX
CROSS REFERENCE: 1997-478874 [43]
DOC. NO. CPI: C1997-072251
TITLE: Chiral 3,4-di substd. pyrrolidine derivative preparation - from

chiral oxazolidinone, used as intermediate for
antimicrobial pyridone or quinolone
 cpds..
 DERWENT CLASS: B03
 INVENTOR(S): CHU, D T; COOPER, C S; FUNG, A K L; MA, Z
 PATENT ASSIGNEE(S): (ABBO) ABBOTT LAB
 COUNTRY COUNT: 1
 PATENT INFORMATION:

| PATENT NO | KIND DATE | WEEK | LA | PG MAIN IPC |
|------------|------------|-----------|----|---------------|
| US 5618949 | A 19970408 | (199720)* | | 15 C07D207-09 |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|------------|------|----------------|----------|
| US 5618949 | A | US 1996-679043 | 19960712 |

PRIORITY APPLN. INFO: US 1996-679043 19960712

INT. PATENT CLASSIF.:

MAIN: C07D207-09

BASIC ABSTRACT:

US 5618949 A UPAB: 19971105

Preparation of chiral cis- or trans-3,4-disubstd. pyrrolidine cpds. (I) of formula (Ia)/(Ia') or (Ib)/(Ib'), respectively, involves: (a) reacting a chiral oxazolidinone of formula (II) with a strong base selected from alkali metals and their hydrides and alkyls in an aprotic solvent at -78 to -50 deg. C under an inert atmos., followed immediately by addition of a cis- or trans-unsatd. acid chloride of formula (IIIa) or (IIIb) (for preparation of cis- or trans-(I) respectively) and isolating the obtd. cis- or trans-N-alkenoyl oxazolidinone cpd. of formula (IVa) or (IVb) respectively; (b) condensing (IVa) or (IVb) with N-benzyl-N-(methoxymethyl) trimethylsilyl methylamine (V) in presence of an acid catalyst, isolating a mixture of N-(pyrrolidin-3-yl carbonyl) oxazolidinone cpds. of formula (VIa) and (VIa') (if cis cpds. are being prepared) or of formula (VIb) and (VIb') (if trans cpds. are being prepared), where the mixture is enriched in one diastereoisomer over the other depending on the chirality of the oxazolidinone chiral centre, separating the major isomer from the minor by chromatography or recrystallisation and isolating the desired chiral diastereomer; (c) hydrolysing by treatment with LiOH and H₂O₂ to give the 3-carboxypyrrolidine cpds. of formula (VIIa) or (VIIa') (cis) or (VIIb) or (VIIb') (trans); (d) replacing the COOH gp. by protected amino by treatment with diphenylphosphoryl azide in presence of t-butanol, benzyl alcohol, p-methoxybenzyl alcohol or p-chlorobenzyl alcohol to give the N-benzyl-3-amino pyrrolidine cpd. of formula (VIIIa) or (VIIIa') (cis) or (VIIIb) or (VIIIb') (trans); and (e) debenzylating by treatment with HCOONH₄ and Pd/C or Pd(OH)₂ catalyst in MeOH or by hydrogenation over Pd/C catalyst and isolating the obtd. cpd. (I).

P = protecting gp. selected from t-butoxycarbonyl (BOC), benzyloxycarbonyl (CBZ), chlorobenzyloxy carbonyl and p-chlorobenzyl oxycarbonyl; R = 1-6C alkyl, 3-5C cycloalkyl, phenyl or phenyl-substd. 1-6C alkyl; R₁ = sterically controlling moiety selected from isopropyl, isobutyl, t-butyl, phenyl, benzyl, 1-phenylethyl, diphenylmethyl, naphthyl and adamantyl.

USE - (I) are intermediates for 7-substd. quinolone or 8-substd. 2-pyridone antibiotic (i.e. antimicrobial) agents.

ADVANTAGE - Both enantiomers of (I) can be obtd. by using the

appropriate chiral (II). The process is suitable for large scale synthesis.

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B07-D03

L46 ANSWER 14 OF 20 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2005:1815 BIOSIS
DOCUMENT NUMBER: PREV200500011592
TITLE: Antibacterial compounds.
AUTHOR(S): **Anderson, David** [Inventor, Reprint Author];
Beutel, Bruce [Inventor]; **Cooper, Curt**
[Inventor]; Dandliker, Peter [Inventor]; David, Caroline
[Inventor]; **Gu, Yu-Gui** [Inventor]; **Hinman,**
Mira [Inventor]; **Kalvin, Douglas** [Inventor];
Lynch, Linda [Inventor]; Ma, Zhenkun [Inventor]; Motter,
Christopher [Inventor]; Rosenberg, Teresa [Inventor];
Sanders, William [Inventor]; Tufano, Michael [Inventor];
Wagner, Rolf [Inventor]; Weitzberg, Moshe
[Inventor]; Yong, Hong [Inventor]
CORPORATE SOURCE: Kenosha, WI, USA
ASSIGNEE: Abbott Laboratories
PATENT INFORMATION: US 6818654 20041116
SOURCE: Official Gazette of the United States Patent and Trademark
Office Patents, (Nov 16 2004) Vol. 1288, No. 3.
<http://www.uspto.gov/web/menu/patdata.html>. e-file.
ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 16 Dec 2004
Last Updated on STN: 16 Dec 2004
ABSTRACT:Antibacterials having formula (I) ##STR1## and salts, prodrugs, and
salts of prodrugs thereof, processes for making the compounds and intermediates
used in the processes, compositions containing the compounds, and methods of
prophylaxis and treatment of bacterial infections using the compounds are
disclosed.
NAT. PATENT. CLASSIF.:514312000
CONCEPT CODE: Pathology - Therapy 12512
Pharmacology - General 22002
Chemotherapy - General, methods and metabolism 38502
Chemotherapy - Antibacterial agents 38504
INDEX TERMS: Major Concepts
Pharmacology
INDEX TERMS: Diseases
bacterial infections: bacterial disease
Bacterial Infections (MeSH)
INDEX TERMS: Chemicals & Biochemicals
antibacterial compounds: antibacterial-drug,
antiinfective-drug

L46 ANSWER 15 OF 20 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2004:40865 BIOSIS
DOCUMENT NUMBER: PREV200400041461
TITLE: Identification of novel antibacterial compounds which

inhibit dihydrofolate reductase.

AUTHOR(S) : Soni, N. B. [Reprint Author]; Nilius, A. M. [Reprint Author]; **Keyes, R. F.** [Reprint Author]; Tufano, M. [Reprint Author]; **Wagner, R.** [Reprint Author]; Merta, P. J. [Reprint Author]; Beutel, B. A. [Reprint Author]

CORPORATE SOURCE: Abbott Lab, Abbott Park, IL, USA

SOURCE: Abstracts of the Interscience Conference on Antimicrobial Agents and Chemotherapy, (2003) Vol. 43, pp. 250. print. Meeting Info.: 43rd Annual Interscience Conference on Antimicrobial Agents and Chemotherapy. Chicago, IL, USA. September 14-17, 2003. American Society for Microbiology.

DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)

LANGUAGE: English

ENTRY DATE: Entered STN: 14 Jan 2004
Last Updated on STN: 14 Jan 2004

ABSTRACT:Background: The chemical library was screened for antibacterial activity against *B. subtilis*. A number of compounds were structurally similar to trimethoprim. The methods were designed to determine if these compounds have same mode of action as trimethoprim, which inhibits the folic acid pathway by inhibiting dihydrofolate reductase. Methods: Antimicrobial susceptibility testing was performed by the NCCLS broth microdilution method. The compounds were tested for thymidine antagonism by adding 1 mug/ml thymidine into the medium. Dihydrofolate reductase (DHFR) inhibition was evaluated by comparing MICs against isogenic strains of *S. pneumoniae* with wild type *dhr* and trimethoprim resistant *dhr* (Ile100Leu). Results: 1. 2,4-diaminopyrimidines: The antibacterial activity of eleven of twelve compounds was reduced by thymidine by 128 to 1024 fold. Ten compounds also had reduced activity against the resistant *dhr* strain. 2. 2,4-diaminotriazines: The antibacterial activity of four of nine compounds was antagonized by thymidine by 64 to 1024 fold, and also had reduced activity against the resistant *dhr* strain. The activity of two other compounds was not antagonized by thymidine, but had reduced activity against the resistant *dhr* strain. 3. Triaminopyrimidine: The antibacterial activity of one compound was reduced by thymidine, but not by resistant DHFR. 4. 2,6-diaminopyrazines and triaminotriazines: The antibacterial activity was not reduced by thymidine or by resistant DHFR. Conclusions: Of five structural groups, 2,4diaminopyrimidines and triaminopyrimidines are most structurally similar to trimethoprim and most of them were also DHFR inhibitors. The antibacterial activity against the resistant *dhr* strain was affected for the majority of 2,4 diaminotriazines, but the activity of only some compounds was antagonized by thymidine. The other compounds are structurally similar to trimethoprim, but may have different mode of action.

CONCEPT CODE: General biology - Symposia, transactions and proceedings 00520
Biochemistry studies - General 10060
Biochemistry studies - Nucleic acids, purines and pyrimidines 10062
Enzymes - General and comparative studies: coenzymes 10802
Pathology - Therapy 12512
Pharmacology - General 22002
Physiology and biochemistry of bacteria 31000
Chemotherapy - General, methods and metabolism 38502
Chemotherapy - Antibacterial agents 38504

INDEX TERMS: Major Concepts
Enzymology (Biochemistry and Molecular Biophysics);
Infection; Pharmacology

INDEX TERMS: Diseases
bacterial infections: bacterial disease, drug therapy

INDEX TERMS: Bacterial Infections (MeSH)
Chemicals & Biochemicals
antibacterial compounds: activities, enzyme inhibitor,
identification, novel, properties, pharmaceutical;
dihydrofolate reductase [EC 1.5.1.3]: activities, drug
target, functions, inhibitors; enzyme inhibitors:
pharmaceutical; enzymes; thymidine; trimethoprim:
antibacterial-drug, antiinfective-drug, enzyme
inhibitor-drug

INDEX TERMS: Methods & Equipment
antimicrobial therapy: clinical techniques, therapeutic
and prophylactic techniques; broth microdilution method:
clinical techniques, laboratory techniques; chemical
library screening: laboratory techniques; chemotherapy:
clinical techniques, therapeutic and prophylactic
techniques

INDEX TERMS: Miscellaneous Descriptors
MIC [minimum inhibitory concentration]; bacterial drug
resistance; bacterial physiology/biochemistry;
structure-activity relationships

ORGANISM: Classifier
Bacteria 05000
Super Taxa
Microorganisms
Organism Name
bacteria (common): pathogen, inhibition studies
Taxa Notes
Bacteria, Eubacteria, Microorganisms

ORGANISM: Classifier
Endospore-forming Gram-Positives 07810
Super Taxa
Eubacteria; Bacteria; Microorganisms
Organism Name
Bacillus subtilis (species): inhibition studies
Taxa Notes
Bacteria, Eubacteria, Microorganisms

REGISTRY NUMBER: 9002-03-3 (dihydrofolate reductase)
9002-03-3 (EC 1.5.1.3)
50-89-5 (thymidine)
738-70-5 (trimethoprim)

L46 ANSWER 16 OF 20 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
STN

ACCESSION NUMBER: 2000:485279 BIOSIS
DOCUMENT NUMBER: PREV200000485279
TITLE: The synthesis and **antibacterial** activities of a
series of novel 7-fluoro-2-pyridone derivatives.
AUTHOR(S): Donner, P. [Reprint author]; Cooper, C. [Reprint
author]; Nilius, A. [Reprint author]; Bui, M. [Reprint
author]; Raney, P. [Reprint author]; Stone, G. [Reprint
author]; Or, Y. S. [Reprint author]
CORPORATE SOURCE: Abbott Lab., Abbott Park, IL, USA
SOURCE: Abstracts of the Interscience Conference on Antimicrobial
Agents and Chemotherapy, (1999) Vol. 39, pp. 308. cd-rom.
Meeting Info.: 39th Interscience Conference on
Antimicrobial Agents and Chemotherapy. San Francisco,
California, USA. September 26-29, 1999. American Society
for Microbiology.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)

LANGUAGE: English
ENTRY DATE: Entered STN: 8 Nov 2000
Last Updated on STN: 10 Jan 2002
CONCEPT CODE: Chemotherapy - Antibacterial agents 38504
General biology - Symposia, transactions and proceedings 00520
Biochemistry studies - General 10060
Biochemistry studies - Nucleic acids, purines and pyrimidines 10062
Enzymes - General and comparative studies: coenzymes 10802
Pathology - Therapy 12512
Pharmacology - General 22002
Physiology and biochemistry of bacteria 31000
INDEX TERMS: Major Concepts
Biochemistry and Molecular Biophysics; Pharmacology
INDEX TERMS: Chemicals & Biochemicals
1-cyclopropyl-7-fluoro-9-methyl-2-pyridone;
3-methylamino moiety; 3-pyrrolidinylmethanamine;
7-fluoro-2-pyridone derivatives:
antibacterial, synthesis; A245475:
antibacterial-drug; DNA; DNA gyrase; pyrrole
INDEX TERMS: Miscellaneous Descriptors
Meeting Abstract
ORGANISM: Classifier
Enterobacteriaceae 06702
Super Taxa
Facultatively Anaerobic Gram-Negative Rods; Eubacteria;
Bacteria; Microorganisms
Organism Name
Escherichia coli: strain-JUHL
Taxa Notes
Bacteria, Eubacteria, Microorganisms
ORGANISM: Classifier
Gram-Positive Cocci 07700
Super Taxa
Eubacteria; Bacteria; Microorganisms
Organism Name
Enterococcus faecium: strain-ATCC 8043
Taxa Notes
Bacteria, Eubacteria, Microorganisms
ORGANISM: Classifier
Micrococcaceae 07702
Super Taxa
Gram-Positive Cocci; Eubacteria; Bacteria;
Microorganisms
Organism Name
Staphylococcus aureus: strain-1775, strain-ATCC 6538P
Taxa Notes
Bacteria, Eubacteria, Microorganisms
ORGANISM: Classifier
Pseudomonadaceae 06508
Super Taxa
Gram-Negative Aerobic Rods and Cocci; Eubacteria;
Bacteria; Microorganisms
Organism Name
Pseudomonas aeruginosa: strain-BMH10
Taxa Notes
Bacteria, Eubacteria, Microorganisms
REGISTRY NUMBER: 109-97-7 (pyrrole)

L46 ANSWER 17 OF 20 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
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ACCESSION NUMBER: 1998:113292 BIOSIS
DOCUMENT NUMBER: PREV199800113292
TITLE: **Antibacterial 2-pyridones**. Consequence
of structural modification at the C-8 position.
AUTHOR(S): Ma, Z.; Chu, D. T. W.; Li, Q.; Shen, L. L.; Fung, A. K. L.;
Cooper, C. S.; Wang, W.; Wang, S.; Flamm, R. K.;
Nilius, A.; Alder, J. D.; Tanaka, S. K.; Or, Y.; Plattner,
J. J.
CORPORATE SOURCE: Abbott Lab., Abbott Park, IL, USA
SOURCE: Abstracts of the Interscience Conference on Antimicrobial
Agents and Chemotherapy, (1997) Vol. 37, pp. 174. print.
Meeting Info.: 37th Interscience Conference on
Antimicrobial Agents and Chemotherapy. Toronto, Ontario,
Canada. September 28-October 1, 1997. ICAAC.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
Conference; (Meeting Poster)
LANGUAGE: English
ENTRY DATE: Entered STN: 3 Mar 1998
Last Updated on STN: 6 Apr 1998
CONCEPT CODE: Pharmacology - General 22002
Biochemistry studies - General 10060
Medical and clinical microbiology - General and methods
36001
General biology - Symposia, transactions and proceedings
00520
INDEX TERMS: Major Concepts
Pharmacology
INDEX TERMS: Chemicals & Biochemicals
2-pyridones: **antibacterial**
INDEX TERMS: Miscellaneous Descriptors
conformation; stereochemistry; steric/electronic
situation; structure modification; structure-activity
relationship; C-8 position; Meeting Abstract; Meeting
Poster
ORGANISM: Classifier
Micrococcaceae 07702
Super Taxa
Gram-Positive Cocci; Eubacteria; Bacteria;
Microorganisms
Organism Name
Staphylococcus-aureus: methicillin-resistant
Taxa Notes
Bacteria, Eubacteria, Microorganisms
REGISTRY NUMBER: 142-08-5 (2-pyridones)
61-32-5 (METHICILLIN)

L46 ANSWER 18 OF 20 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
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ACCESSION NUMBER: 1995:11017 BIOSIS
DOCUMENT NUMBER: PREV199598025317
TITLE: Synthesis and **Antibacterial** Activity of A-86719.1
and Related 2-pyridones: A Novel Series of Potent
DNA gyrase Inhibitors.
AUTHOR(S): Chu, D. T. W.; Li, Q.; Claiborne, A.; Raye-Passarelli, K.;
Cooper, C.; Fung, A.; Lee, C.; Tanaka, S. K.; Shen,
L. L.; Donner, P.; Armiger, Y. L.; Plattner, J. J.

CORPORATE SOURCE: Abbott Lab., Abbott Park, IL 60064-3500, USA
SOURCE: Abstracts of the Interscience Conference on Antimicrobial Agents and Chemotherapy, (1994) Vol. 34, No. 0, pp. 108. Meeting Info.: 34th Interscience Conference on Antimicrobial Agents and Chemotherapy. Orlando, Florida, USA. October 4-7, 1994.

DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
Conference; (Meeting Poster)

LANGUAGE: English

ENTRY DATE: Entered STN: 5 Jan 1995
Last Updated on STN: 5 Jan 1995

CONCEPT CODE: General biology - Symposia, transactions and proceedings 00520
Biochemistry studies - General 10060
Enzymes - Chemical and physical 10806
Pathology - Therapy 12512
Pharmacology - Clinical pharmacology 22005
Physiology and biochemistry of bacteria 31000
Medical and clinical microbiology - Bacteriology 36002
Chemotherapy - Antibacterial agents 38504

INDEX TERMS: Major Concepts
Enzymology (Biochemistry and Molecular Biophysics);
Infection; Pharmacology; Physiology

INDEX TERMS: Chemicals & Biochemicals
NORFLOXACIN; TOPOISOMERASE II

INDEX TERMS: Miscellaneous Descriptors
A-86719.1; **ANTIBACTERIAL-DRUG**; CIPROFLOXACIN;
MEETING ABSTRACT; MEETING POSTER; NORFLOXACIN;
TOPOISOMERASE II

ORGANISM: Classifier
Enterobacteriaceae 06702
Super Taxa
Facultatively Anaerobic Gram-Negative Rods; Eubacteria;
Bacteria; Microorganisms
Organism Name
Klebsiella pneumoniae
Taxa Notes
Bacteria, Eubacteria, Microorganisms

ORGANISM: Classifier
Gram-Positive Cocci 07700
Super Taxa
Eubacteria; Bacteria; Microorganisms
Organism Name
gram-positive cocci
Enterococcus faecalis
Streptococcus pyogenes
Taxa Notes
Bacteria, Eubacteria, Microorganisms

ORGANISM: Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
human
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates

ORGANISM: Classifier
Micrococcaceae 07702

Super Taxa
Gram-Positive Cocci; Eubacteria; Bacteria;
Microorganisms

Organism Name
Staphylococcus aureus
Staphylococcus epidermidis

Taxa Notes
Bacteria, Eubacteria, Microorganisms

REGISTRY NUMBER: 70458-96-7 (NORFLOXACIN)
142805-56-9 (TOPOISOMERASE II)

L46 ANSWER 19 OF 20 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 97024268 EMBASE

DOCUMENT NUMBER: 1997024268

TITLE: Studies of mild dehydrogenations in heterocyclic systems.

AUTHOR: Williams D.R.; Lowder P.D.; Gu Y.-G.; Brooks D.A.

CORPORATE SOURCE: D.R. Williams, Department of Chemistry, Indiana University, Bloomington, IN 47405, United States

SOURCE: Tetrahedron Letters, (1997) Vol. 38, No. 3, pp. 331-334. .
Refs: 11

ISSN: 0040-4039 CODEN: TELEAY

PUBLISHER IDENT.: S 0040-4039(96)02344-1

COUNTRY: United Kingdom

DOCUMENT TYPE: Journal; Article

FILE SEGMENT: 037 Drug Literature Index

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 14 Feb 1997

Last Updated on STN: 14 Feb 1997

ABSTRACT: The use of bromotrichloromethane-DBU is described for the selective oxidative conversion of several dihydro-heterocyclic systems to the corresponding heteroaromatics. Oxidative dehydrogenations to afford 4-hydroxy-2-pyridinones are examined under a variety of conditions. Studies of phenylselenenylation and peracid oxidation provide the novel spirocyclic oxirane 10.

CONTROLLED TERM: Medical Descriptors:
*drug synthesis
article
drug oxidation
methodology
reaction analysis
Drug Descriptors:
*oxazole derivative: AN, drug analysis
*oxazole derivative: DV, drug development
*pyridone derivative: AN, drug analysis
*pyridone derivative: DV, drug development
*thiazole derivative: AN, drug analysis
*thiazole derivative: DV, drug development
funiculosin: AN, drug analysis
funiculosin: DV, drug development
CAS REGISTRY NO.: (pyridone derivative) 694-85-9; (funiculosin)
11055-06-4

L46 ANSWER 20 OF 20 SCISEARCH COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 1999:761825 SCISEARCH

THE GENUINE ARTICLE: 244EV

TITLE: Synthesis and antimicrobial activity of

4H-4-Oxoquinolizine derivatives: Consequences of structural modification at the C-8 position

AUTHOR: Ma Z K (Reprint); Chu D T W; **Cooper C S**; Li Q; Fung A K L; Wang S Y; Shen L L; Flamm R K; Nilius A M; Alder J D; Meulbroek J A; Or Y S

CORPORATE SOURCE: Abbott Labs, 200 Abbott Pk Rd, Abbott Pk, IL 60064 USA (Reprint); Abbott Labs, Abbott Pk, IL 60064 USA

COUNTRY OF AUTHOR: USA

SOURCE: JOURNAL OF MEDICINAL CHEMISTRY, (7 OCT 1999) Vol. 42, No. 20, pp. 4202-4213. ISSN: 0022-2623.

PUBLISHER: AMER CHEMICAL SOC, 1155 16TH ST, NW, WASHINGTON, DC 20036 USA.

DOCUMENT TYPE: Article; Journal

LANGUAGE: English

REFERENCE COUNT: 20

ENTRY DATE: Entered STN: 1999
Last Updated on STN: 1999

ABSTRACT:

The **antibacterial** 4H-4-oxoquinolizines were introduced recently to overcome bacterial resistance to fluoroquinolones. They exhibit potent-
antibacterial activity against Gram-positive, Gramnegative, and anaerobic organisms and are highly active against some quinolone-resistant bacteria including quinolone-resistant MRSA. Preliminary studies indicated that oxoquinolizines possess distinct activity and toxicity profiles as compared with their parent quinolones. In order to develop a potent
antibacterial agent with the desired spectrum of activity, good tolerability, and balanced pharmacokinetic profile, we synthesized and evaluated a series of oxoquinolizines with various substituents at the C-8 position. Most compounds tested in this study demonstrated better activity against Gram-positive bacteria than ciprofloxacin and exhibited good susceptibility against ciprofloxacin- and methicillin-resistant *S. aureus*. While maintaining potent in vitro activity, several compounds showed improved in vivo efficacy over ABT-719 as indicated by the mouse protection test. As an example, the oral ED50 values for the cis-3-amino-4-methylpiperidine analogue 3ss against *S. aureus* NCTC 10649M, *S. pneumoniae* ATCC 6303, and *E. coli* JUHL were 0.8, 2.0, and 1.4 mg/kg, compared to 3.0, 10.0, and 8.3 mg/kg for ABT-719. The current study revealed that the steric and electronic environment, conformation, and absolute stereochemistry of the C-8 group are very important to the **antibacterial** profiles. Structural modifications of the C-8 group provide a useful means to improve the
antibacterial activities, physicochemical properties, and pharmacokinetic profiles. Manipulation of the C-8 group also allows us to generate analogues with the desired spectrum of activity, such as analogues that are selective against respiratory pathogens.

CATEGORY: CHEMISTRY, MEDICINAL

SUPPL. TERM PLUS: II DNA TOPOISOMERASES; **ANTIBACTERIAL AGENTS**; MECHANISM; GYRASE; 2-PYRIDONES; QUINOLONES

REFERENCE(S):

| Referenced Author (RAU) | Year (RPY) | VOL (RVL) | ARN PG (RPG) | Referenced Work (RWK) |
|----------------------------|---------------|--------------|-----------------|--------------------------|
| BERGER J M | 1996 | 379 | 225 | NATURE |
| BRIGHTY K E | 1997 | 39 | 1 | J ANTIMICROB CHEMO B |
| CESARE P D | 1992 | 35 | 4205 | J MED CHEM |
| CHU D T W | 1996 | 6 | 711 | EXPERT OPIN THER PAT |
| CHU D T W | 1998 | 33 | 141 | ANNU REP MED CHEM |
| FREIFELDER M | 1963 | 52 | 1191 | J PHARM SCI |
| GOOTZ T D | 1994 | 38 | 130 | ANTIMICROB AGENTS CH |
| HOSHINO J | 1995 | | 693 | J CHEM SOC P1 |

| | | | | |
|--------------|------|-----|------|----------------------|
| JAYNES B H | 1996 | 31 | 121 | ANNU REP MED CHEM |
| JOHNSON J E | 1971 | 36 | 284 | J ORG CHEM |
| KLEIN L L | 1997 | 7 | 1167 | BIOORG MED CHEM LETT |
| KORNET M J | 1979 | 68 | 377 | J PHARM SCI |
| LESHER G Y | 1962 | 5 | 1063 | J MED PHARM CHEM |
| LI Q | 1996 | 39 | 3070 | J MED CHEM |
| MA Z K | 1997 | 8 | 883 | TETRAHEDRON-ASYMMETR |
| MARIANS K J | 1997 | 272 | 9401 | J BIOL CHEM |
| MITSCHER L A | 1993 | | 3 | QUINOLONE ANTIMICROB |
| SHEN L L | 1989 | 28 | 3886 | BIOCHEMISTRY-US |
| SHEN L L | 1997 | 3 | 169 | CURR PHARM DESIGN |
| SHEN L L | 1996 | 2 | 195 | CURR PHARM DESIGN |

=> =>

intentionally blank

=> fil reg; d ide l60 1-2

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STRUCTURE FILE UPDATES: 28 JUN 2006 HIGHEST RN 889935-59-5

DICTIONARY FILE UPDATES: 28 JUN 2006 HIGHEST RN 889935-59-5

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

L60 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN

RN 859731-53-6 REGISTRY

ED Entered STN: 11 Aug 2005

CN **Thiazolo[4,5-b]pyridine-6-carboxylic acid, 2-[3-(aminomethyl)-1-pyrrolidinyl]-4,7-dihydro-7-oxo-, trifluoroacetate (9CI) (CA INDEX NAME)**

MF **C12 H14 N4 O3 S . x C2 H F3 O2**

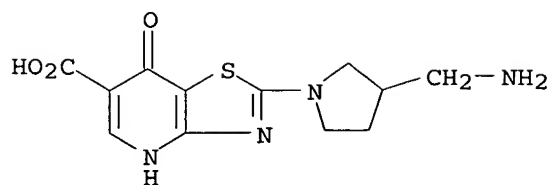
SR CA

LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 859731-52-5

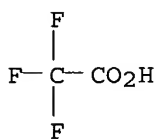
CMF C12 H14 N4 O3 S



CM 2

CRN 76-05-1

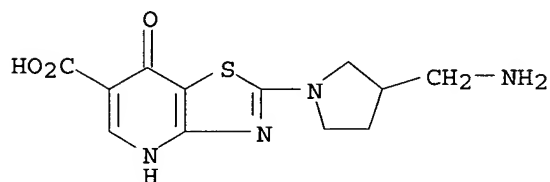
CMF C2 H F3 O2

*Species*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L60 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN
RN 859731-52-5 REGISTRY
ED Entered STN: 11 Aug 2005
CN **Thiazolo[4,5-b]pyridine-6-carboxylic acid, 2-[3-(aminomethyl)-1-pyrrolidinyl]-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)**
FS 3D CONCORD
MF **C12 H14 N4 O3 S**
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> fil capl uspatf ;s l60
FILE 'CAPLUS' ENTERED AT 14:45:26 ON 29 JUN 2006
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FILE 'USPATFULL' ENTERED AT 14:45:26 ON 29 JUN 2006
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L61 2 L60

=> dup rem l61

PROCESSING COMPLETED FOR L61

L62 1 DUP REM L61 (1 DUPLICATE REMOVED)

ANSWER '1' FROM FILE CAPLUS

=> d ibib ed abs hitrn l62

L62 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2005:641875 CAPLUS

DOCUMENT NUMBER: 143:153396

TITLE: Preparation of pyrimidopyridonecarboxylates, thiazolopyridonecarboxylates, and related compounds as antibacterials.

INVENTOR(S): Anderson, David D.; Beutel, Bruce A.; Cooper, Curt S.; Gu, Yu-gui; Hinman, Mira M.; Kalvin, Douglas M.; Keyes, Robert F.; Searle, Xenia B.; Wagner, Rolf

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 28 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

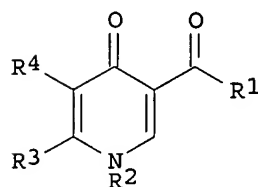
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| US 2005159423 | A1 | 20050721 | US 2004-762002 | 20040121 |
| WO 2005075477 | A1 | 20050818 | WO 2004-US40993 | 20041208 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |

PRIORITY APPLN. INFO.: US 2004-762002 A 20040121

OTHER SOURCE(S): MARPAT 143:153396

ED Entered STN: 22 Jul 2005

GI



- AB Title compds. [I; R1 = OH, OR5, NH2, NHR5, N(R5)2; R2 = H, CMe3, allyloxy, 4-methoxyphenylmethyl, 2,4-dimethoxyphenylmethyl; R3R4 = atoms to form (substituted) thiazolo, pyrimido rings; R5 = alkyl], were prepared Thus, 4-(4-methoxybenzyl)-2-methylsulfonyl-7-oxo-4,7-dihydrothiazolo[4,5-b]pyridine-6-carboxylic acid (preparation given) and tert-Bu 3-pyrrolidinylmethylcarbamate (preparation given) were refluxed 18 h in EtOH to give protected coupling product, which was stirred in CF₃CO₂H at 110° for 18 h to give 2-[3-(aminomethyl)pyrrolidin-1-yl]-7-oxo-4,7-dihydrothiazolo[4,5-b]pyridine-6-carboxylic acid trifluoroacetate. Representative I showed min. inhibitory concns. of 32-64 µg/mL against *Streptococcus pneumoniae* ATCC 6303.
- IT **859731-53-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidopyridonecarboxylates, thiazolopyridonecarboxylates and related compds. as antibacterials)

=> fil reg; d stat que l51
FILE 'REGISTRY' ENTERED AT 14:45:54 ON 29 JUN 2006
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STRUCTURE FILE UPDATES: 28 JUN 2006 HIGHEST RN 889935-59-5
DICTIONARY FILE UPDATES: 28 JUN 2006 HIGHEST RN 889935-59-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

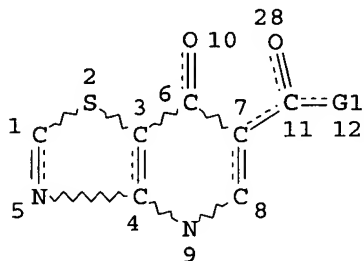
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

L49 STR



VAR G1=O/N
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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L51 54 SEA FILE=REGISTRY SSS FUL L49

100.0% PROCESSED 71 ITERATIONS

54 ANSWERS

SEARCH TIME: 00.00.01

=> fil capl; s l51

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FILE COVERS 1907 - 29 Jun 2006 VOL 145 ISS 1

FILE LAST UPDATED: 28 Jun 2006 (20060628/ED)

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L63 5 L51

=> s l63 not (l45 or l60)

1 L60

L64 4 L63 NOT (L45 OR L60)

previously printed

=> fil uspatf toxcenter; s l51; fil marpat; d stat que l55

FILE 'USPATFULL' ENTERED AT 14:46:56 ON 29 JUN 2006

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FILE CONTENT: 1961-PRESENT VOL 144 ISS 26 (20060623/ED)

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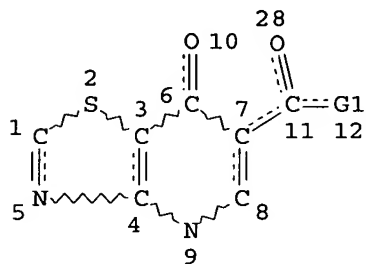
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

| | | | | |
|----|--------------|----|-----|------|
| US | 2006094872 | 04 | MAY | 2006 |
| DE | 102004050353 | 20 | APR | 2006 |
| EP | 1645616 | 12 | APR | 2006 |
| JP | 2006100393 | 13 | APR | 2006 |
| WO | 2006051143 | 18 | MAY | 2006 |
| GB | 2416167 | 18 | JAN | 2006 |
| FR | 2876377 | 14 | APR | 2006 |
| RU | 2273632 | 10 | APR | 2006 |
| CA | 2518664 | 10 | MAR | 2006 |

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L49 STR



VAR G1=O/N

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L55 2 SEA FILE=MARPAT SSS FUL L49

100.0% PROCESSED 904 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

=> dup rem 164,155,165

FILE 'CAPLUS' ENTERED AT 14:47:11 ON 29 JUN 2006

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PROCESSING COMPLETED FOR L55

PROCESSING COMPLETED FOR L65

L66 6 DUP REM L64 L55 L65 (6 DUPLICATES REMOVED)

ANSWERS '1-4' FROM FILE CAPLUS

ANSWER '5' FROM FILE MARPAT

ANSWER '6' FROM FILE TOXCENTER

=> d ibib ed abs hitstr 1-4; d ibib abs qhit 5; d iall 6

L66 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2006:231127 CAPLUS

DOCUMENT NUMBER: 144:312078

TITLE: Preparation of thiazolopyridine protein kinase

inhibitors useful against various tumors

INVENTOR(S): Connolly, Peter J.; Johnson, Sigmond G.; Pandey,

Niranjan B.; Middleton, Steven A.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 74 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| US 2006058341 | A1 | 20060316 | US 2005-226961 | 20050915 |
| WO 2006031929 | A2 | 20060323 | WO 2005-US32837 | 20050915 |
| WO 2006031929 | A3 | 20060601 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

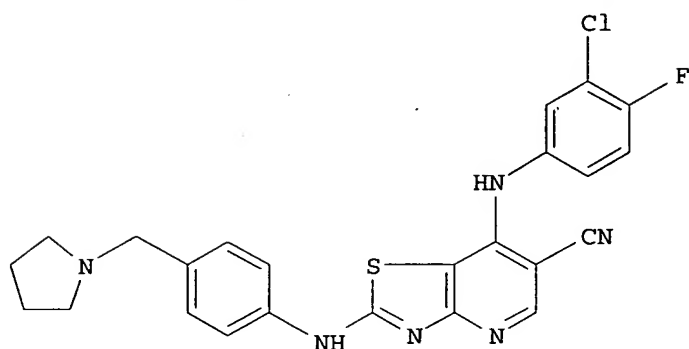
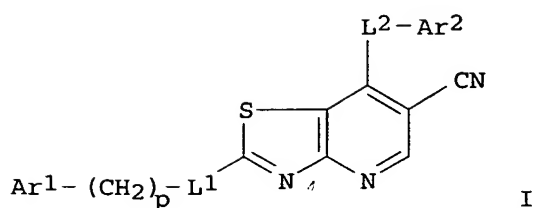
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-609992P P 20040915

OTHER SOURCE(S): MARPAT 144:312078

ED Entered STN: 16 Mar 2006

GI



AB The present invention is directed to novel thiazolopyridines (shown as I; variables defined below; e.g. 7-(3-chloro-4-fluorophenylamino)-2-[[4-[(pyrrolidin-1-yl)methyl]phenyl]amino]thiazolo[4,5-b]pyridine-6-carbonitrile dihydrochloride (free base shown as II)), pharmaceutical compns. thereof, and the use thereof as inhibitors of ATP-protein kinase interactions. For I: L1 = S(C1-4alkyl), a bond, N(R1), N(R1)C(O) and C(O)N(R1), wherein R1 = H, C1-8alkyl and C1-8alkyl(C1-8alkoxy); p = 0-4; L2 = O, S, N(R1) and a bond; Ar1 = aryl, heteroaryl, benzofused heteroaryl, heterocyclyl and benzofused heterocyclyl (un)substituted with 1-3 substituents; and Ar2 = aryl, heteroaryl, benzofused heteroaryl, heterocyclyl and benzofused heterocyclyl (un)substituted with 1-3 substituents; addnl. details are given in the claims. Methods of preparation are claimed and preps. and/or characterization data for .apprx.50 examples of I are included. For example, II was prepared in 7 steps starting with preparation of 4-chloro-2-cyano-3-hydroxybut-2-enoic acid tert-Bu ester from tert-Bu cyanoacetate and chloroacetyl chloride and involving the following addnl. intermediates: N-tert-butyl-4-chloro-3-oxobutyramide, 3-(4-amino-2-methylsulfanylmethylthiazol-5-yl)-N-tert-butyl-3-oxopropionamide, 7-chloro-2-methylsulfanylmethylthiazolo[4,5-b]pyridine-6-carbonitrile, 7-(3-chloro-4-fluorophenylamino)-2-methylsulfanylmethylthiazolo[4,5-b]pyridine-6-carbonitrile, and [4-[(pyrrolidin-1-yl)methyl]phenyl]amine. IC50 values for inhibition by some examples of I of EGFR, HER-2, c-Src and Lyn kinases are tabulated.

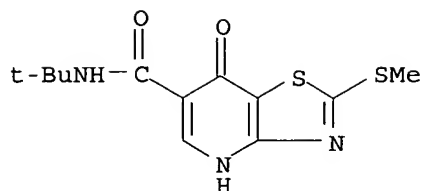
IT **879222-35-2P**, 2-Methylsulfanyl-7-oxo-4,7-dihydrothiazolo[4,5-b]pyridine-6-carboxylic acid tert-butylamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

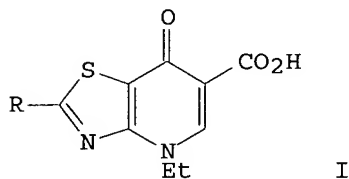
(preparation of thiazolopyridine protein kinase inhibitors useful against various tumors)

RN 879222-35-2 CAPLUS

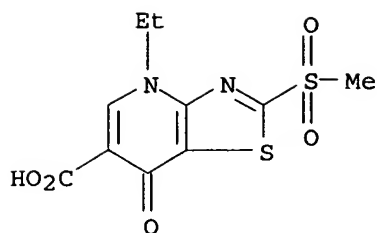
CN Thiazolo[4,5-b]pyridine-6-carboxamide, N-(1,1-dimethylethyl)-4,7-dihydro-2-(methylthio)-7-oxo- (9CI) (CA INDEX NAME)



L66 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3
 ACCESSION NUMBER: 1985:62135 CAPLUS
 DOCUMENT NUMBER: 102:62135
 TITLE: Thiazolopyridine analogs of nalidixic acid. 2.
 Thiazolo[4,5-b]pyridines
 AUTHOR(S): Leysen, D. C.; Haemers, A.; Bollaert, W.
 CORPORATE SOURCE: Dep. Pharm. Sci., Univ. Antwerp, Wilrijk, B-2610,
 Belg.
 SOURCE: Journal of Heterocyclic Chemistry (1984), 21(5),
 1361-6
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 ED Entered STN: 24 Feb 1985
 GI

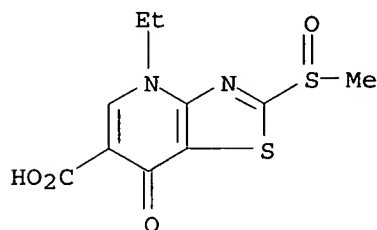


AB Title compds. I (R = pyrrolidino, piperidino, piperazino, morpholino,
 etc.) were prepared from NCN:C(SK)SMe and ClCH2COCH2CO2Et. I showed poor in
 vitro bactericidal activity.
 IT 94507-77-4P 94507-78-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and amination of)
 RN 94507-77-4 CAPLUS
 CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-
 (methylsulfonyl)-7-oxo- (9CI) (CA INDEX NAME)



RN 94507-78-5 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylsulfinyl)-7-oxo- (9CI) (CA INDEX NAME)



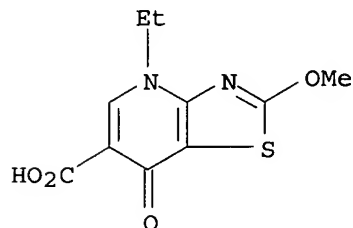
IT 94507-51-4P 94507-52-5P 94507-53-6P
 94507-54-7P 94507-55-8P 94507-56-9P
 94507-57-0P 94507-58-1P 94507-59-2P
 94507-60-5P 94507-61-6P 94507-62-7P
 94507-63-8P 94507-64-9P 94507-65-0P
 94507-66-1P 94507-67-2P 94507-68-3P
 94507-69-4P 94507-70-7P 94507-71-8P
 94507-72-9P 94507-73-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and bactericidal activity of)

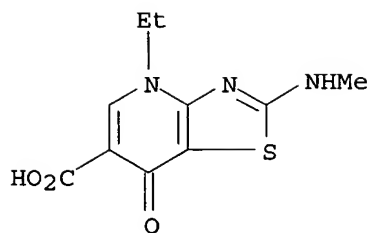
RN 94507-51-4 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-methoxy-7-oxo- (9CI) (CA INDEX NAME)



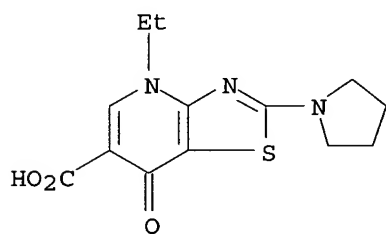
RN 94507-52-5 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylamino)-7-oxo- (9CI) (CA INDEX NAME)



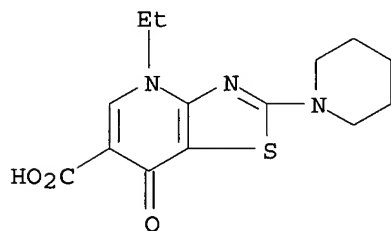
RN 94507-53-6 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-7-oxo-2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



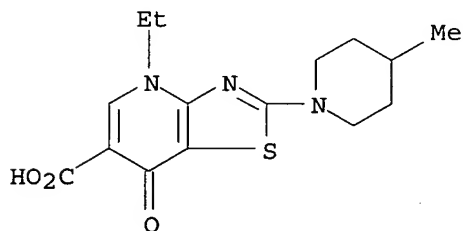
RN 94507-54-7 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-7-oxo-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)



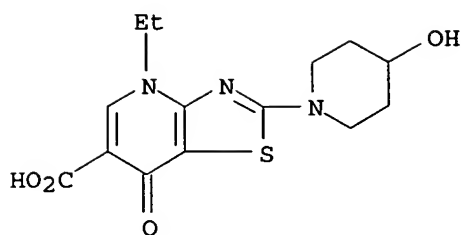
RN 94507-55-8 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(4-methyl-1-piperidinyl)-7-oxo- (9CI) (CA INDEX NAME)

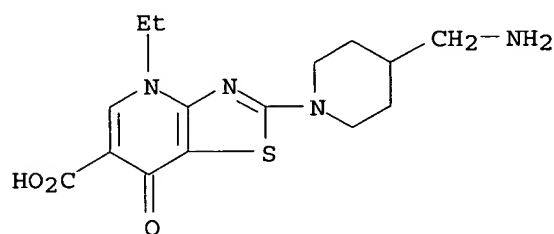


RN 94507-56-9 CAPLUS

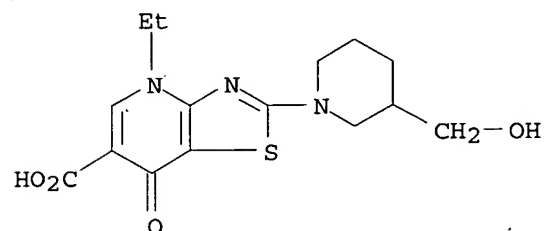
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(4-hydroxy-1-piperidinyl)-7-oxo- (9CI) (CA INDEX NAME)



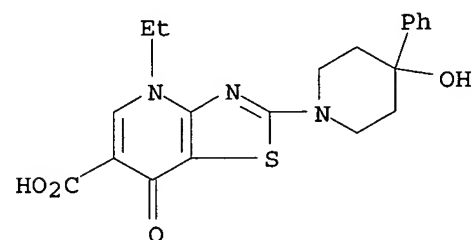
RN 94507-57-0 CAPLUS
 CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 2-[4-(aminomethyl)-1-piperidinyl]-4-ethyl-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)



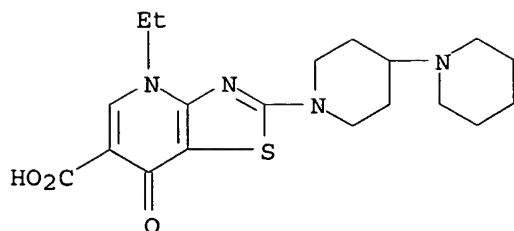
RN 94507-58-1 CAPLUS
 CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-[3-(hydroxymethyl)-1-piperidinyl]-7-oxo- (9CI) (CA INDEX NAME)



RN 94507-59-2 CAPLUS
 CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(4-hydroxy-4-phenyl-1-piperidinyl)-7-oxo- (9CI) (CA INDEX NAME)

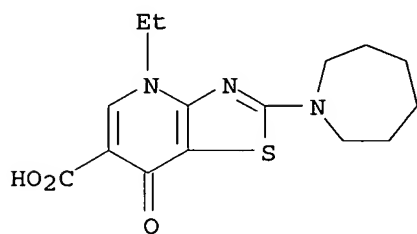


RN 94507-60-5 CAPLUS
 CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 2-[1,4'-bipiperidin]-1'-yl-4-ethyl-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)



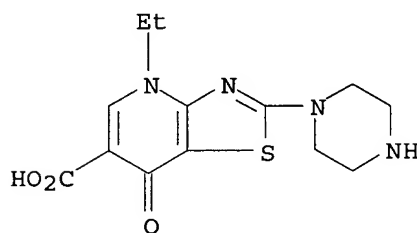
RN 94507-61-6 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-2-(hexahydro-1H-azepin-1-yl)-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)



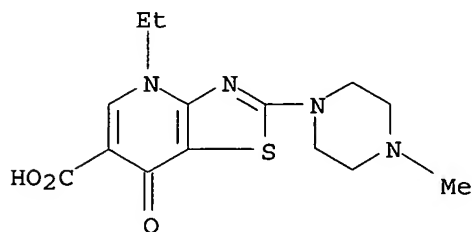
RN 94507-62-7 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-7-oxo-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



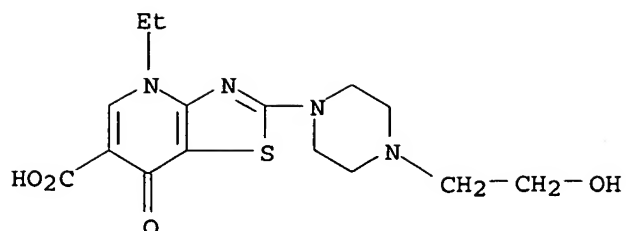
RN 94507-63-8 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(4-methyl-1-piperazinyl)-7-oxo- (9CI) (CA INDEX NAME)



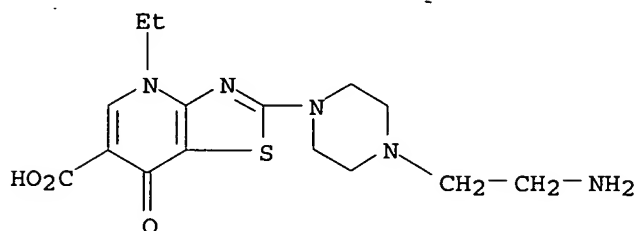
RN 94507-64-9 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-[4-(2-hydroxyethyl)-1-piperazinyl]-7-oxo- (9CI) (CA INDEX NAME)



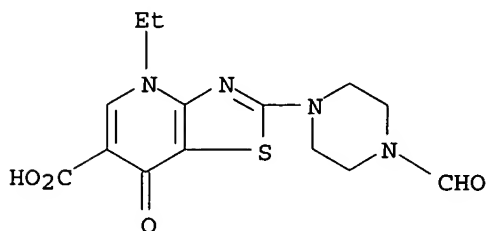
RN 94507-65-0 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 2-[4-(2-aminoethyl)-1-piperazinyl]-4-ethyl-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)



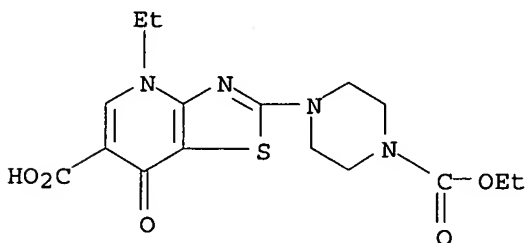
RN 94507-66-1 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-2-(4-formyl-1-piperazinyl)-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)



RN 94507-67-2 CAPLUS

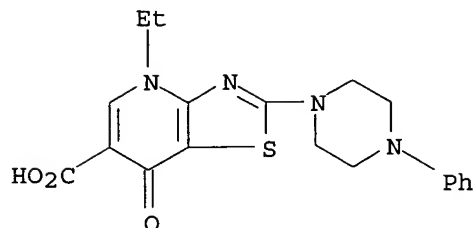
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 2-[4-(ethoxycarbonyl)-1-piperazinyl]-4-ethyl-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)



RN 94507-68-3 CAPLUS

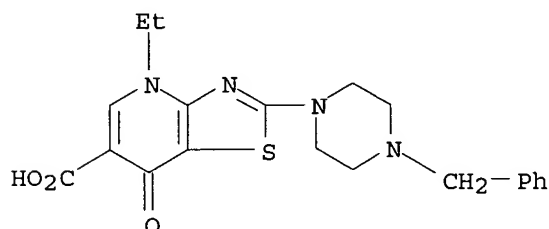
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-7-oxo-2-(4-

phenyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



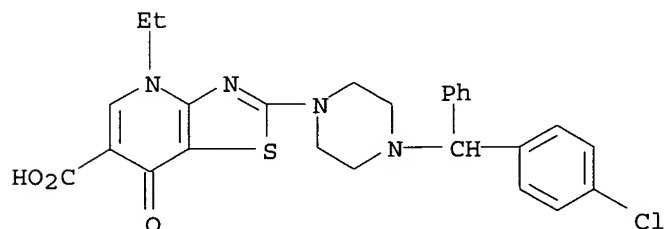
RN 94507-69-4 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-7-oxo-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



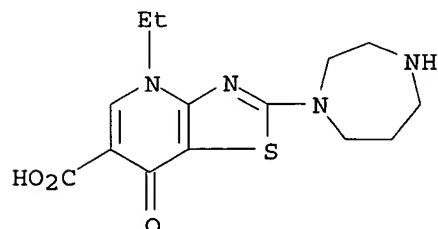
RN 94507-70-7 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]-4-ethyl-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)



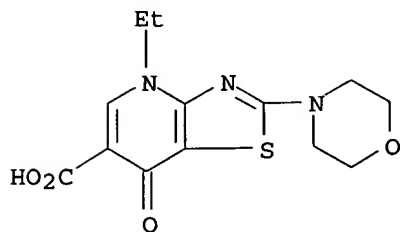
RN 94507-71-8 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-2-(hexahydro-1H-1,4-diazepin-1-yl)-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)



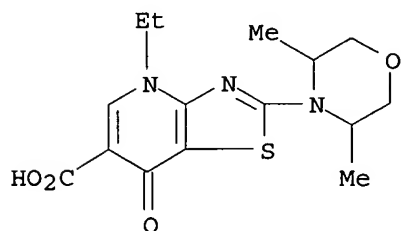
RN 94507-72-9 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(4-morpholinyl)-7-oxo- (9CI) (CA INDEX NAME)



RN 94507-73-0 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 2-(3,5-dimethyl-4-morpholinyl)-4-ethyl-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)

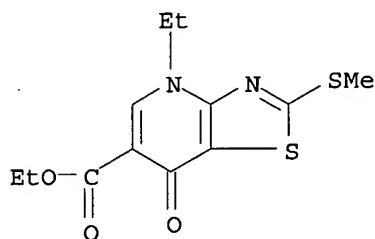


IT 65095-78-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

RN 65095-78-5 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylthio)-7-oxo-, ethyl ester (9CI) (CA INDEX NAME)

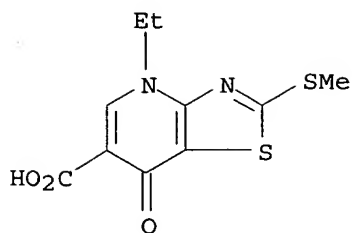


IT 65095-79-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)

RN 65095-79-6 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylthio)-7-oxo- (9CI) (CA INDEX NAME)

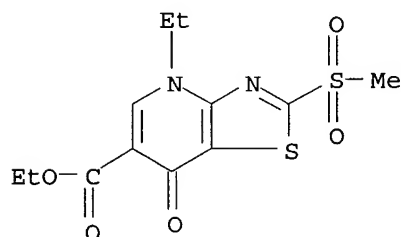


IT 94507-76-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 94507-76-3 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylsulfonyl)-7-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L66 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 1981:25624 CAPLUS

DOCUMENT NUMBER: 94:25624

TITLE: Bactericidal effect against Escherichia coli of
nalidixic acid and four structurally related compounds

AUTHOR(S): Stevens, P. J. E.

CORPORATE SOURCE: Microbial Biochem. Dep., Glaxo Group Res. Ltd.,
Greenford/Middx., UKSOURCE: Journal of Antimicrobial Chemotherapy (1980), 6(4),
535-42

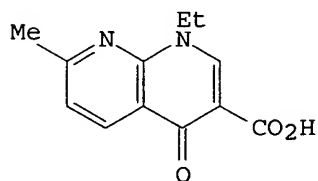
CODEN: JACHDX; ISSN: 0305-7453

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 May 1984

GI

AB The bactericidal action of nalidixic acid (NAL) (I) [389-08-2] and 4
structurally related compds., oxolinic acid [14698-29-4], 17563 (II)

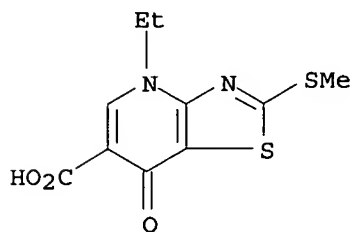
[75871-44-2], 17607 (III) [75871-43-1], and 17665 (IV) [65095-79-6] was examined in NAL-sensitive and -resistant strains of *E. coli*. In the NAL-sensitive strain, II and IV were bactericidal and III was bacteriostatic, whereas in the NAL-resistant strain oxolinic acid was the only bactericidal compound. Cell death occurred at concns. which inhibited DNA biosynthesis while having little effect on RNA and protein syntheses. Cell stasis occurred at concns. which inhibited all 3 macromol. processes.

IT 65095-79-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal activity of, against *Escherichia coli*)

RN 65095-79-6 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylthio)-7-oxo- (9CI) (CA INDEX NAME)



L66 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1978:37785 CAPLUS

DOCUMENT NUMBER: 88:37785

TITLE: Thiazolopyridine carboxylic acid derivative

INVENTOR(S): Hayakawa, Isao; Tanaka, Yoshiaki; Nagata, Yasuaki

PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

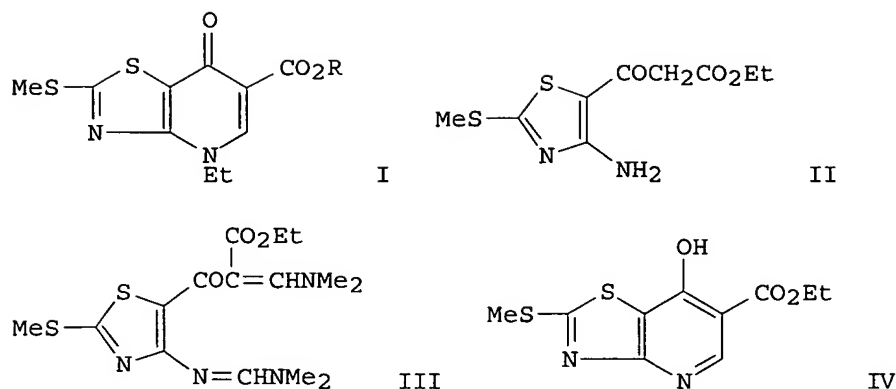
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|-----------------|----------|
| JP 52083588 | A2 | 19770712 | JP 1976-199 | 19760101 |
| JP 58040554 | B4 | 19830906 | | |

PRIORITY APPLN. INFO.:

JP 1976-199 A 19760101

ED Entered STN: 12 May 1984

GI



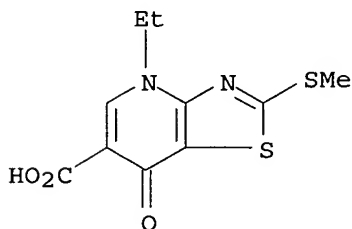
AB Thiazolopyridinecarboxylic acid derivative I (R = H) was prepared; i.e. 4 g K⁺ (MeS) (S-)C:NCN and 5 g ClCH₂COCH₂CO₂Et in Me₂CO were stirred 2 h at room temperature in N to give 73% II, 5.4 g II and 9 g Me₂NCH(OMe)₂ in PhMe was heated 4 h in N to give 96% III. III (3.2 g) in AcOH was stirred 2 h at room temperature to give 96% IV, 340 mg IV, 1.1 g K₂CO₃, and 2 mL EtI in DMF were stirred 1 h at 110° to give 46% I (R = Et), 600 mg product in 90% AcOH and 1N HCl was stirred 5 h at 120° to give 68% I (R = H). I (R = H) showed antibacterial activity.

IT 65095-79-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

RN 65095-79-6 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylthio)-7-oxo- (9CI) (CA INDEX NAME)

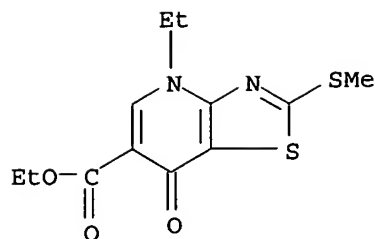


IT 65095-78-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

RN 65095-78-5 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylthio)-7-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L66 ANSWER 5 OF 6 MARPAT COPYRIGHT 2006 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 143:153396 MARPAT

TITLE: Preparation of pyrimidopyridonecarboxylates, thiazolopyridonecarboxylates, and related compounds as antibacterials.

INVENTOR(S): Anderson, David D.; Beutel, Bruce A.; Cooper, Curt S.; Gu, Yu-gui; Hinman, Mira M.; Kalvin, Douglas M.; Keyes, Robert F.; Searle, Xenia B.; Wagner, Rolf

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 28 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| US 2005159423 | A1 | 20050721 | US 2004-762002 | 20040121 |
| WO 2005075477 | A1 | 20050818 | WO 2004-US40993 | 20041208 |

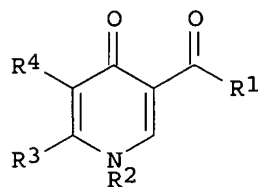
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2004-762002 20040121

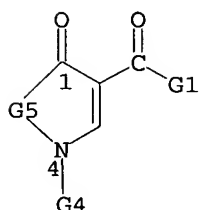
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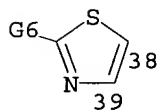
I

AB Title compds. [I; R1 = OH, OR5, NH2, NHR5, N(R5)2; R2 = H, CMe3, allyloxy, 4-methoxyphenylmethyl, 2,4-dimethoxyphenylmethyl; R3R4 = atoms to form (substituted) thiazolo, pyrimido rings; R5 = alkyl], were prepared Thus, 4-(4-methoxybenzyl)-2-methylsulfonyl-7-oxo-4,7-dihydrothiazolo[4,5-b]pyridine-6-carboxylic acid (preparation given) and tert-Bu 3-pyrrolidinylmethylcarbamate (preparation given) were refluxed 18 h in EtOH to give protected coupling product, which was stirred in CF3CO2H at 110° for 18 h to give 2-[3-(aminomethyl)pyrrolidin-1-yl]-7-oxo-4,7-dihydrothiazolo[4,5-b]pyridine-6-carboxylic acid trifluoroacetate. Representative I showed min. inhibitory concns. of 32-64 µg/mL against *Streptococcus pneumoniae* ATCC 6303.

MSTR 1



G1 = OH
G5 = 38-1 39-4



Patent location: claim 1
Note: or salts

L66 ANSWER 6 OF 6 TOXCENTER COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1978:69086 TOXCENTER
COPYRIGHT: Copyright 2006 ACS
DOCUMENT NUMBER: CA08805037785C
TITLE: Thiazolopyridine carboxylic acid derivative
AUTHOR(S): Hayakawa, Isao; Tanaka, Yoshiaki; Nagata, Yasuaki
CORPORATE SOURCE: ASSIGNEE: Daiichi Seiyaku Co., Ltd.
PATENT INFORMATION: JP 7783588 12 Jul 1977
SOURCE: (1977) Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF.
COUNTRY: JAPAN
DOCUMENT TYPE: Patent
FILE SEGMENT: CAPLUS
OTHER SOURCE: CAPLUS 1978:37785
LANGUAGE: Japanese
ENTRY DATE: Entered STN: 16 Nov 2001
Last Updated on STN: 10 Dec 2002
ABSTRACT:

Thiazolopyridinecarboxylic acid derivative I (R = H) was prepared; i.e. 4 g K⁺(MeS)(S-)C:NCN and 5 g ClCH₂COCH₂CO₂Et in Me₂CO were stirred 2 h at room temperature in N to give 73% II, 5.4 g II and 9 g Me₂NCH(OMe)₂ in PhMe was heated 4 h in N to give 96% III. III (3.2 g) in AcOH was stirred 2 h at room temperature to give 96%

IV, 340 mg IV, 1.1 g K₂CO₃, and 2 mL EtI in DMF were stirred 1 h at 110° to give 46% I (R = Et), 600 mg product in 90% AcOH and 1N HCl was stirred 5 h at 120° to give 68% I (R = H). I (R = H) showed antibacterial activity.

CLASSIFICATION CODE: 28-7

SUPPLEMENTARY TERMS: Miscellaneous Descriptors

bactericide thiazolopyridinecarboxylic acid prepn

REGISTRY NUMBER:

4637-24-5; 10191-61-4; 638-07-3; 65095-79-6;

65095-75-2; 65095-78-5; 65095-77-4; 65095-76-3;

75-03-6

*structures
printed on
next page*

=> fil reg; s 65095-79-6; s 65095-78-5

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* available and contains the CA role and document type information. *
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<http://www.cas.org/ONLINE/UG/regprops.html>

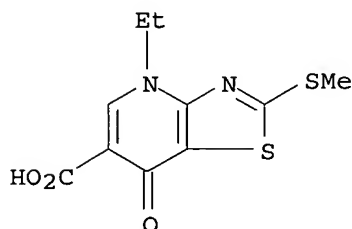
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(65095-79-6/RN)

L68 1 65095-78-5

(65095-78-5/RN)

=> d ide 167; d ide 168; fil hom

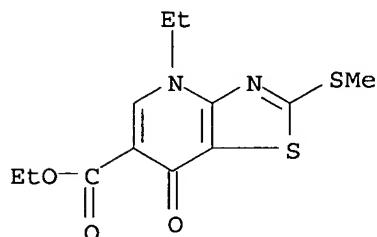
L67 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
RN 65095-79-6 REGISTRY
ED Entered STN: 16 Nov 1984
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylthio)-7-oxo- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C10 H10 N2 O3 S2
LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L68 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
RN 65095-78-5 REGISTRY
ED Entered STN: 16 Nov 1984
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylthio)-7-oxo-, ethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C12 H14 N2 O3 S2
LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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